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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	DEC 01	ChemPort single article sales feature unavailable
NEWS 3	JUN 01	CAS REGISTRY Source of Registration (SR) searching enhanced on STN
NEWS 4	JUN 26	NUTRACEUT and PHARMAML no longer updated
NEWS 5	JUN 29	IMSCOPROFILE now reloaded monthly
NEWS 6	JUN 29	EPFULL adds Simultaneous Left and Right Truncation (SLART) to AB, MCLM, and TI fields
NEWS 7	JUL 09	PATDPAFULL adds Simultaneous Left and Right Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS 8	JUL 14	USGENE enhances coverage of patent sequence location (PSL) data
NEWS 9	JUL 27	CA/CAplus enhanced with new citing references
NEWS 10	JUL 16	GBFULL adds patent backfile data to 1855
NEWS 11	JUL 21	USGENE adds bibliographic and sequence information
NEWS 12	JUL 28	EPFULL adds first-page images and applicant-cited references
NEWS 13	JUL 28	INPADOCDB and INPAFAMDB add Russian legal status data
NEWS 14	AUG 08	Improve STN by completing a survey and be entered to win a gift card
NEWS 15	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,  
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* Please take a couple of minutes to complete our short survey. Your  
\* name will be entered to win one of five \$20 Amazon.com gift cards. \*  
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\* See NEWS 14 for details or go directly to the survey at:  
\* <http://www.zoomerang.com/Survey/?p=WEB229H4S8Q5UL> \*  
\*  
\*\*\*\*\*

FILE 'HOME' ENTERED AT 12:46:53 ON 15 AUG 2009

FILE 'REGISTRY' ENTERED AT 12:47:13 ON 15 AUG 2009  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 AUG 2009 HIGHEST RN 1174374-85-6  
DICTIONARY FILE UPDATES: 14 AUG 2009 HIGHEST RN 1174374-85-6

New CAS Information Use Policies, enter HELP USAGE TERMS for details.

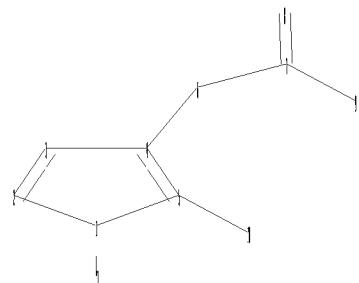
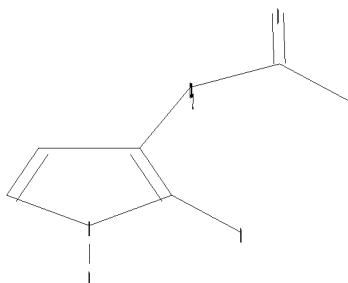
TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\STNEXP\Queries\10541429\pyrrole and indole amides.str



chain nodes :

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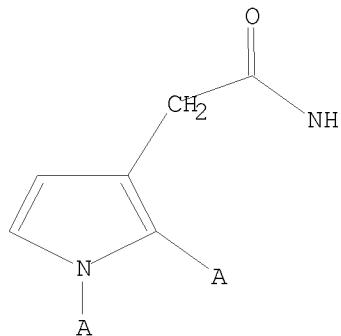
6 7 8 9 10 11
ring nodes :
1 2 3 4 5
chain bonds :
1-11 4-6 5-10 6-7 7-8 7-9
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-11 2-3 3-4 4-5 5-10 7-8 7-9
exact bonds :
4-6 6-7

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Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
 10:CLASS 11:CLASS

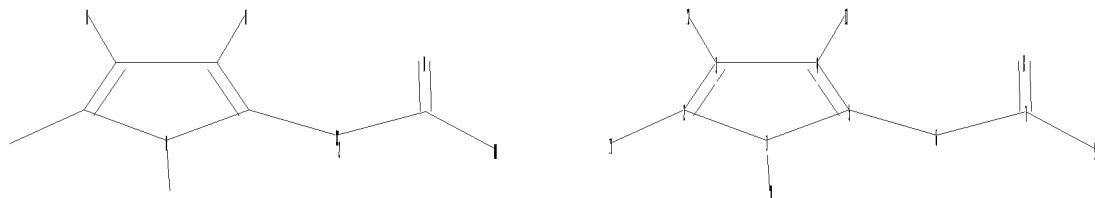
L1 STRUCTURE UPLOADED

=> D  
 L1 HAS NO ANSWERS  
 L1 STR



Structure attributes must be viewed using STN Express query preparation.

=>  
 Uploading C:\Program Files\STNEXP\Queries\10541429\pyrrole amides.str



chain nodes :
 6 7 8 9 10 11 12 13
 ring nodes :

```

1 2 3 4 5
chain bonds :
1-10 2-11 3-12 4-13 5-6 6-7 7-8 7-9
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-10 2-3 3-4 4-5 7-8 7-9
exact bonds :
2-11 3-12 4-13 5-6 6-7

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS

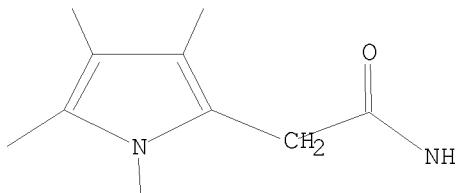
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L2           STRUCTURE UPLOADED

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L2 HAS NO ANSWERS
L2           STR

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Structure attributes must be viewed using STN Express query preparation.

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=> S L2
SAMPLE SEARCH INITIATED 12:47:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       72 TO ITERATE

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100.0% PROCESSED       72 ITERATIONS                           4 ANSWERS
SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS: ONLINE    **COMPLETE**
                          BATCH    **COMPLETE**
PROJECTED ITERATIONS:       931 TO    1949
PROJECTED ANSWERS:         4 TO    200

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L3           4 SEA SSS SAM L2

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=> S L2 FULL
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FULL SCREEN SEARCH COMPLETED -       1187 TO ITERATE

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100.0% PROCESSED       1187 ITERATIONS                           76 ANSWERS
SEARCH TIME: 00.00.01

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L4 76 SEA SSS FUL L2

=> S L1  
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 SAMPLE SCREEN SEARCH COMPLETED - 5606 TO ITERATE

35.7% PROCESSED 2000 ITERATIONS 33 ANSWERS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 107630 TO 116610  
 PROJECTED ANSWERS: 1272 TO 2426

L5 33 SEA SSS SAM L1

=> S L1 FULL  
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 FULL SCREEN SEARCH COMPLETED - 110160 TO ITERATE

100.0% PROCESSED 110160 ITERATIONS 1791 ANSWERS  
 SEARCH TIME: 00.00.01

L6 1791 SEA SSS FUL L1

=> FIL CAPLUS  
 COST IN U.S. DOLLARS SINCE FILE TOTAL  
 ENTRY SESSION  
 FULL ESTIMATED COST 371.28 371.50

FILE 'CAPLUS' ENTERED AT 12:48:00 ON 15 AUG 2009  
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FILE COVERS 1907 - 15 Aug 2009 VOL 151 ISS 8  
 FILE LAST UPDATED: 14 Aug 2009 (20090814/ED)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

=> S L6  
L7 377 L6

=> S L4  
L8 96 L4

=> S L7 OR L8  
L9 451 L7 OR L8

=> S L9 AND INFLAMMAT  
=> S L9 AND INFLAMMATION  
216782 INFLAMMATION  
L10 167 L9 AND INFLAMMATION

=> S L9 AND INFLAMMATORY  
236860 INFLAMMATORY  
L11 179 L9 AND INFLAMMATORY

=> S L10 OR L11  
L12 240 L10 OR L11

=> S L12 AND INTERLEUKIN  
199656 INTERLEUKIN  
L13 7 L12 AND INTERLEUKIN

=> D IBIB ABS HITSTR TOT

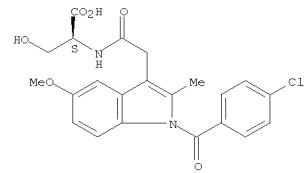
L13 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 20071090523 CAPLUS  
 DOCUMENT NUMBER: 147:398668  
 TITLE: Use of gelsolin to diagnose and treat inflammatory diseases  
 INVENTOR(S): Stosse, Thomas P.; Magnusson Osborn, Anna Charlotta  
 PATENT ASSIGNEE(S): The Brigham Women's Hospital, Inc., USA  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007109056	A2	20070927	WO 2007-US6451	20070315
WO 2007109056	A3	20071206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TQ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
FW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007227613	A1	20070927	AU 2007-227613	20070315
EP 2001496	A2	20081217	EP 2007-753102	20070315
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				
KR 2009004966	A	20090112	KR 2008-725043	20081014
CN 101443030	A	20090527	CN 2007-80017558	20081114
PRIORITY APFLN. INFO.:			US 2006-782508P	P 20060315
				WO 2007-US6451
				W 20070315

AB The invention relates to the use of gelsolin to treat inflammatory diseases (e.g., rheumatoid arthritis) and to the use of gelsolin to diagnose, monitor, and evaluate therapies of inflammatory diseases (e.g., rheumatoid arthritis).  
 IT 57645-05-3, Sermetacin  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (use of gelsolin to diagnose and treat inflammatory diseases)  
 RN 57645-05-3 CAPLUS  
 CN L-Serine, N-[2-(1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl)acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



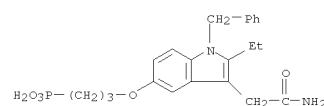
L13 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2007201135 CAPLUS  
 DOCUMENT NUMBER: 146:266827  
 TITLE: Methods for bone treatment by modulating an arachidonic acid metabolic or signaling pathway  
 INVENTOR(S): O'Connor, James Patrick  
 PATENT ASSIGNEE(S): Accelaxol, Inc., USA  
 SOURCE: PCT Int. Appl., 50pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007022427	A2	20070222	WO 2006-US32367	20060818
WO 2007022427	A3	20070830		
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FW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006279325	A1	20070222	AU 2006-279325	20060818
CA 2619608	A1	20070222	CA 2006-2619608	20060818
EP 1947942	A2	20080730	EP 2006-801878	20060818
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009504776	T	20090205	JP 2008-527172	20060818
CN 101277614	A	20081001	CN 2006-80036641	20080402
US 20080280826	A1	20081113	US 2008-995529	20080714
PRIORITY APFLN. INFO.:			US 2005-709838P	P 20050818
			WO 2006-US32367	W 20060818

AB Methods for promoting osteogenesis to accelerate or enhance bone fracture healing, treat bone defects, and enhance bone formation are disclosed. The methods modulate an arachidonic acid metabolic or signaling pathway in general, and, in particular, utilize 5-lipoxygenase inhibitors including small interfering RNA (siRNA). These mols. can be delivered alone or in combination with one or more agents that inhibit bone resorption, regulate calcium resorption from bone, enhance bone accumulation, enhance bone formation, induce bone formation, impair growth of microorganisms, reduce inflammation, and/or reduce pain. Administration of 5-lipoxygenase inhibitors nordihydroguaiaretic acid and AA-861 to rats with closed femur fractures resulted in accelerated fracture healing with enhancement of the bone mech. properties.

IT 164083-84-5, Ly311727  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

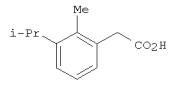
L13 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 (arachidonic acid metabolic or signaling pathway modulators for bone healing)  
 RN 164083-84-5 CAPLUS  
 CN Phosphonic acid,  
 P-[3-[(3-(2-amino-2-oxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl)oxy]propyl]- (CA INDEX NAME)



L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2004:675710 CAPLUS  
 DOCUMENT NUMBER: 141:190512  
 TITLE: A preparation of 2-arylacetic acid derivatives,  
 useful  
 for the treatment of IL-8 mediated diseases  
 INVENTOR(S): Moriconi, Alessio; Allegretti, Marcello; Bertini, Riccardo; Cesta, Maria Candida; Bizzarri, Cinzia; Colotta, Francesco  
 PATENT ASSIGNEE(S): Dompe' S.p.A., Italy  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069782	A2	20040819	WO 2004-EP1021	20040204
WO 2004069782	A3	20040916		
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AU 2004210082	A1	20040819	AU 2004-210082	20040204
CA 2511582	A1	20040819	CA 2004-2511582	20040204
EP 1590314	A2	20051102	EP 2004-707926	20040204
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CN 1768026	A	20060503	CN 2004-80008741	20040204
JP 2006516592	T	20060706	JP 2006-501731	20040204
RU 2356887	C2	20090527	RU 2005-127777	20040204
US 20060223842	A1	20061005	US 2005-541429	20050705
NO 2005004017	A	20050830	NO 2005-4017	20050830
PRIORITY APFLN. INFO.:			EP 2003-2716	A 20030206
WO 2004069782		WO 2004-EP1021		W 20040204

OTHER SOURCE(S): MARPAT 141:190512  
 GI



I

L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 740839-28-5 CAPLUS  
 CN Glycine, N-[(5-acetyl-1-methyl-1H-pyrrol-2-yl)acetyl]- (9CI) (CA INDEX NAME)

RN 740839-29-6 CAPLUS  
 CN L-Alanine, N-[(5-acetyl-1-methyl-1H-pyrrol-2-yl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 740839-30-9 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, 5-acetyl-N-[3-(dimethylamino)propyl]-1-methyl- (CA INDEX NAME)

RN 740839-31-0 CAPLUS  
 CN L-Serine, N-[(5-acetyl-1-methyl-1H-pyrrol-2-yl)acetyl]-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

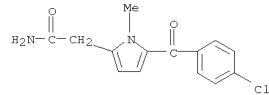
AB The invention relates to a preparation of 2-arylacetic acid derivs. of formula A-CH2C(O)-Y [wherein: A is a 5 to 6 membered (hetero)aromatic ring where heteroatom is selected from N, O, S, etc.; the 5-6 membered (hetero)aromatic ring is optionally fused with a second ring; Y is NH2, NH-(cyclo)alkyl, or NH-cycloalkenyl, etc.], useful in inhibiting chemotactic activation of neutrophils (PMN leukocytes) induced by the interaction of Interleukin-8 (IL-8) with CXCR1 and CXCR2 membrane receptors. The compds. are used for the prevention and treatment of pathologies deriving from said activation. In particular, o-substituted arylacetic acid derivs., such as amides and sulfonamides, lack cyclo-oxygenase inhibition activity and are particularly useful in the treatment of neutrophil-dependent pathologies such as psoriasis, ulcerative colitis, melanoma, etc. For instance, prepared in the example 2 acetic acid derivative I (10-MI) showed 62% (IL-8) and 5% (GRO- $\alpha$ ) inhibitory activity on CXCR1 and CXCR2 receptors.

IT 26235-67-6 740839-27-4P 740839-28-5P  
 740839-29-6P 740839-30-9P 740839-31-0P  
 740839-36-5P 740839-38-7P 740839-39-8P  
 740839-40-1P 740839-41-2P 740839-42-3P  
 740839-45-6P 740839-48-9P 740839-56-9P  
 740839-57-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PKEP (Preparation); USES (Uses)

(preparation of arylacetic acids useful for the treatment of IL-8 mediated diseases)

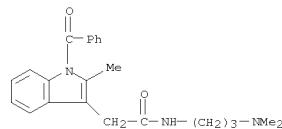
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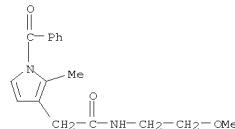
RN 740839-27-4 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, 5-acetyl-1-methyl- (CA INDEX NAME)

L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

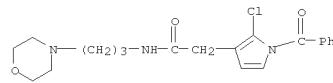
RN 740839-36-5 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-benzoyl-N-[3-(dimethylamino)propyl]-2-methyl- (CA INDEX NAME)



RN 740839-38-7 CAPLUS  
 CN 1H-Pyrrole-3-acetamide, 1-benzoyl-N-(2-methoxyethyl)-2-methyl- (CA INDEX NAME)



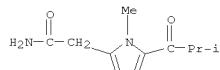
RN 740839-39-8 CAPLUS  
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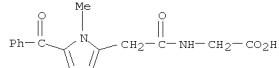
RN 740839-40-1 CAPLUS  
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L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

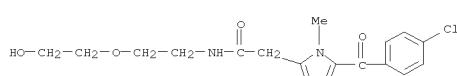
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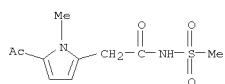
RN 740839-41-2 CAPLUS  
 CN Glycine, N-[(5-benzoyl-1-methyl-1H-pyrrol-2-yl)acetyl]- (9CI) (CA INDEX NAME)



RN 740839-42-3 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, 5-(4-chlorobenzoyl)-N-[2-(2-hydroxyethoxy)ethyl]-1-methyl- (CA INDEX NAME)



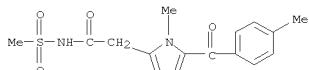
RN 740839-45-6 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, 5-acetyl-1-methyl-N-(methylsulfonyl)- (CA INDEX NAME)



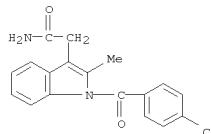
RN 740839-48-9 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, 1-methyl-5-(4-methylbenzoyl)-N-(methylsulfonyl)- (CA INDEX NAME)

L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

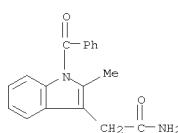
(Continued)



RN 740839-56-9 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-2-methyl- (CA INDEX NAME)



RN 740839-57-0 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-benzoyl-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
 (2 CITINGS)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:41490 CAPLUS

DOCUMENT NUMBER: 140:111631

TITLE: Preparation of non-steroidal macrolide erythromycin analog glycosides for treatment of inflammatory diseases

INVENTOR(S): Merceti, Mladen; Mesic, Milan; Tomaskovic, Linda; Markovic, Stribor

PATENT ASSIGNEE(S): Pliva D.D., Croatia

SOURCE: PCT Int. Appl., 78 pp.

DOCUMENT TYPE: CODEN: PIXKD2

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: English 1

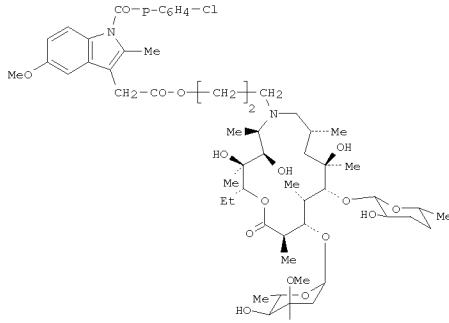
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005309	A2	20040115	WO 2003-HR35	20030707
WO 2004005309	A3	20040415		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KE, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
FW: GH, GM, KE, LS, MW, SD, SL, SZ, T2, OG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, QO, GW, ML, MR, NE, SN, TD, TG				
CA 2489398	A1	20040115	CA 2003-2489398	20030707
AU 2003255849	A1	20040123	AU 2003-255849	20030707
US 20040097434	A1	20040520	US 2003-615010	20030707
US 7109176	B2	20060919		
BR 2003012584	A	20050412	BR 2003-12584	20030707
EP 1521763	A2	20050413	EP 2003-762824	20030707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1665829	A	20050907	CN 2003-816092	20030707
CN 1040417659	C	20080910		
JP 2005536488	T	20051202	JP 2004-519020	20030707
NZ 537716	A	20070531	NZ 2003-537716	20030707
RU 2342398	C2	20081227	RU 2005-103227	20030707
NO 2005000571	A	20050331	NO 2005-571	20050202
HK 1080865	A1	20090529	HK 2006-100928	20060120
PRIORITY APPLN. INFO.:			US 2002-394671P	P 20020708
			WO 2003-HR35	W 20030707

OTHER SOURCE(S): MARPAT 140:111631

GI

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

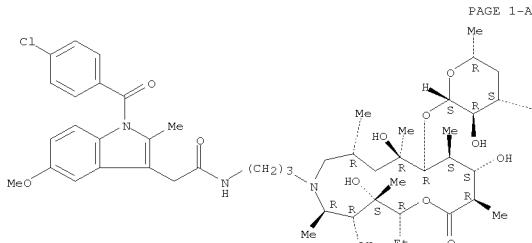


AB: The present invention relates (a) to new compds. represented by Formula I: wherein M represents a macrolide subunit (macrolide moiety) derived from macrolide possessing the property of accumulation in inflammatory cells, (b) to their pharmacol. acceptable salts, prodrugs and solvates, (c) to processes and intermediates for their preparation, and (d) to their use in the treatment of inflammatory diseases and conditions in humans and animals. The present invention relates (a) to new compds. represented by the formula M-L-D; wherein M represents a macrolide subunit (macrolide moiety) derived from macrolide possessing the property of accumulation in inflammatory cells, D represents a nonsteroidal subunit (nonsteroidal moiety) derived from nonsteroid drug with anti-inflammatory, analgesic and/or antipyretic activity (NSAID) and L represents a linking group covalently linking M and D; (b) to their pharmacol. acceptable salts, prodrugs and solvates, (c) to processes and intermediates for their preparation, and (d) to their use in the treatment of inflammatory diseases and conditions in humans and animals. Such compds. inhibit many cytokines and immune mediators involved in immune responses which cause inflammation, allergy, or allo-immunity, including without limitation IL-1, ICAM, and TNF- $\alpha$ . Thus, title I was prepared and tested as anti-inflammatory agent.

IT 643017-05-4P 643017-09-3P 643017-10-1P  
 643017-12-3P 643017-19-OP  
 RL: IMP (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of steroid-containing macrolide erythromycin analog glycosides for

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 RN 643017-05-4 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-[3-[(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10,13-tetrahydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-11-[(3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl)oxy]-1-oxa-6-azacyclopentadec-6-yl]propyl]-5-methoxy-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



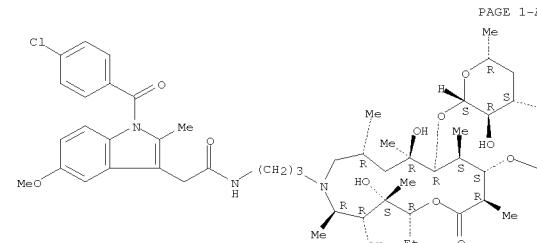
PAGE 1-B

RN 643017-09-8 CAPLUS  
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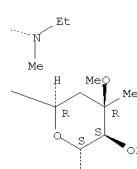
Absolute stereochemistry.

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



RN 643017-10-1 CAPLUS

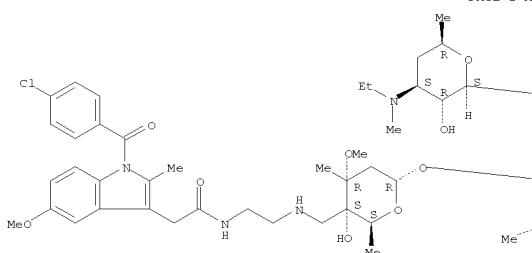
CN 1-Oxa-6-azacyclopentadecane-7,15-dione, 13-[[4-C-[(2-[[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl]amino)ethyl]amino]methyl]-2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl]oxy]-2-ethyl-3,4-dihydroxy-10-methoxy-3,5,8,10,12,14-hexamethyl-11-[(3,4,6-trideoxy-3-(ethylmethylamino)- $\beta$ -D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

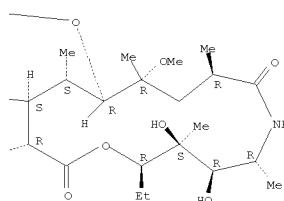
L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

PAGE 1-A

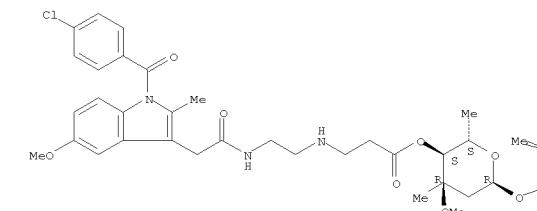


PAGE 1-B

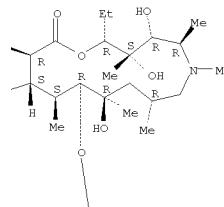


RN 643017-12-3 CAPLUS  
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[[4-O-[(2-[[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl]amino)ethyl]amino]-1-oxopropyl]-2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl]oxy]-2-ethyl-3,4,10,13-tetrahydroxy-3,5,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

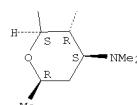
Absolute stereochemistry.



PAGE 1-B



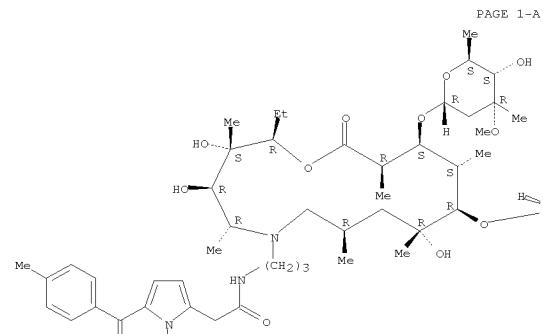
PAGE 2-B



L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 643017-19-0 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, N-[3-[(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-11-[(3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl)oxy]-1-oxa-6-azacyclopentadec-6-yl]propyl]-1-methyl-5-(4-methylbenzoyl)- (CA INDEX NAME)

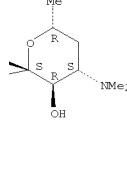
Absolute stereochemistry.



L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

PAGE 1-B

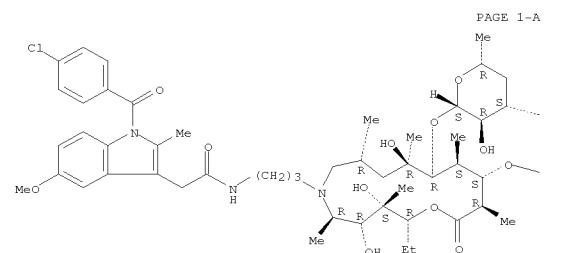
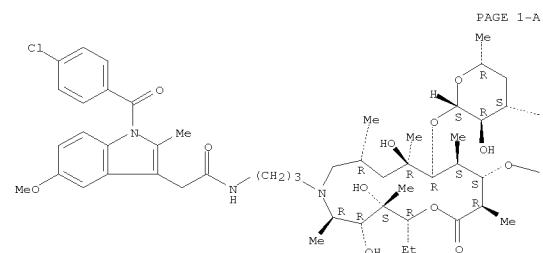


IT 643016-99-3P 643017-08-7P  
 RL: IMP (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of steroid-containing macrolide erythromycin analog glycosides for treatment of inflammatory diseases)  
 RN 643016-99-3 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-[3-[(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-11-[(3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl)oxy]-1-oxa-6-azacyclopentadec-6-yl]propyl]-5-methoxy-2-methyl- (CA INDEX NAME)

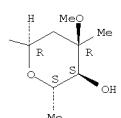
Absolute stereochemistry.

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



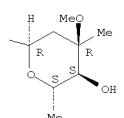
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RN 643017-08-7 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-[3-[(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,8,10,12,14-hexamethyl-15-oxo-11-[(3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl)oxy]-1-oxa-6-azacyclopentadec-6-yl]propyl]-5-methoxy-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

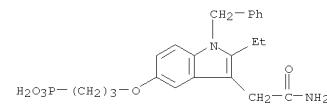
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OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
 (5 CITINGS)  
 REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L13 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2002:322943 CAPLUS  
 DOCUMENT NUMBER: 136:400293  
 TITLE: Inhibition of LPS-induced chemokine production in human lung endothelial cells by lipid conjugates anchored to the membrane  
 AUTHOR(S): Beck, G. Ch.; Yard, B. A.; Schulte, J.; Oberacker, R.; Van Ackern, K.; Van der Woude, F. J.; Krimsky, M.; Kaszkin, M.; Vedgar, S.  
 CORPORATE SOURCE: Institute of Anaesthesiology, University of Mannheim, Mannheim, 68167, Germany  
 SOURCE: British Journal of Pharmacology (2002), 135(7), 1665-1674  
 PUBLISHER: Nature Publishing Group  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB In acute respiratory distress syndrome (ARDS) induced by endotoxins, a high production of inflammatory mediators by microvascular lung endothelial cells (LMVEC) can be observed. Activation of cells by endotoxins may result in elevated secretion of phospholipase A2 (sPLA2) which is thought to contribute to tissue damage. The present study was undertaken to investigate the role of sPLA2 in chemokine production in human lung microvascular endothelial cells (LMVEC) stimulated with the endotoxins lipopolysaccharide (LPS) and lipoteichoic acid (LTA). In particular, we investigated the effects of sPLA2 inhibitors, specifically, the extracellular PLA2 inhibitors (ExPLIs), composed of N-derivatized phosphatidyl-ethanolamine linked to polymeric carriers, and LY311727, a specific inhibitor of non-pancreatic sPLA2. ExPLIs markedly inhibited LPS and LTA induced production and mRNA expression of the neutrophile attracting chemokines IL-8, Gro- $\alpha$  and ENA-78, as well as of the adhesion mols. ICAM-1 and E-selectin. Concomitantly, ExPLIs inhibited the LPS-induced activation of NF- $\kappa$ B by LPS but not its activation by TNF- $\alpha$  or IL-1. Endotoxin mediated chemokine production in LMVEC seems not to involve PLA2 activity, since LPS stimulation was not associated with activation of intracellular or secreted PLA2. It therefore seems that the inhibitory effect of the ExPLIs was not due to their PLA2 inhibiting capacity. This was supported by the finding that the LPS-induced chemokine production was not affected by the selective sPLA2 inhibitor LY311727. It is proposed that the ExPLIs may be considered a prototype of potent suppressors of specific endotoxin-induced inflammatory responses, with potential implications for the therapy of subsequent severe inflammation.  
 IT 164083-84-5, LY311727  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (ExPLIs; inhibition of LPS-induced chemokine production in human lung endothelial cells by lipid conjugates anchored to membrane)  
 RN 164083-84-5 CAPLUS

L13 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 CN Phosphonic acid, P-[3-[3-(2-amino-2-oxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]propyl- (CA INDEX NAME)



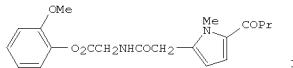
OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)  
 REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L13 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2000:537947 CAPLUS  
 DOCUMENT NUMBER: 133:236701  
 TITLE: Secretory and cytosolic phospholipase A2 regulate the long-term cytokine-induced eicosanoid production in human keratinocytes  
 AUTHOR(S): Sjursen, Wenche; Brekke, Ole-Lars; Johansen, Berit  
 CORPORATE SOURCE: UNIGEN Center for Molecular Biology, Norwegian University of Science and Technology, NTNU, Trondheim, N-7493, Norway  
 SOURCE: Cytokine (2000), 12(8), 1189-1194  
 PUBLISHER: Academic Press  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The involvement of cytosolic phospholipase A2(cPLA2) and secretory non-pancreatic PLA2(npPLA2) in release of arachidonic acid (AA) preceding eicosanoid formation in the human keratinocyte cell line HaCaT was examined. Interleukin 1 $\beta$  (IL-1 $\beta$ ) and tumor necrosis factor- $\alpha$  (TNF), phorbol myristate acetate (PMA) and calcium ionophore A23187 increased the extracellular AA release, and stimulated eicosanoid synthesis as determined by HPLC anal. The main metabolites after stimulation with IL-1 $\beta$ , PMA or A23187 were PG $\epsilon$ 2, an unidentified PG and LT $\beta$ 4, while TNF stimulated HETE production. Both cPLA2and npPLA2message and enzyme activity were detected in unstimulated HaCaT cells. IL-1 $\beta$ , PMA and TNF increased both cPLA2 enzyme activity and expression, but did not lead to any increase in npPLA2 expression or activity. The selective npPLA2 inhibitor LY311727 and 12-epi-scalaradial, or the cPLA2 inhibitor arachidonyl trifluoro Me ketone (AACOCF3) reduced IL-1 $\beta$ -induced eicosanoid production in a concentration dependent manner. The results presented strongly suggest that both cPLA2 and npPLA2 contribute to the long-term generation of AA preceding eicosanoid production in differentiated, human keratinocytes. Inhibitors against npPLA2 or cPLA2 enzymes should be useful in treating inflammatory skin diseases, such as psoriasis. (c) 2000 Academic Press.  
 IT 164083-84-5, LY311727  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (secretory and cytosolic phospholipase A2 inhibitors for treatment of inflammatory skin disease and psoriasis)  
 RN 164083-84-5 CAPLUS  
 CN Phosphonic acid, P-[3-[3-(2-amino-2-oxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]propyl- (CA INDEX NAME)

L13 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 CN Phosphonic acid, P-[3-[3-(2-amino-2-oxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-5-yl]oxy]propyl- (CA INDEX NAME)

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)  
 REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L13 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1986:508114 CAPLUS  
 DOCUMENT NUMBER: 105:108114  
 ORIGINAL REFERENCE NO.: 105:17339a,17342a  
 TITLE: Effect of MED 15 and tolmetin on the mouse immune system  
 AUTHOR(S): De Simone, Claudio; Baldinelli, L.; Cilli, A.; De Santis, S.; Zanzoglu, S.; Soscia, V.  
 CORPORATE SOURCE: Policlin. Umberto, Univ. Rome "La Sapienza", Rome, Italy  
 SOURCE: International Journal of Immunotherapy (1986), 2(2), 155-61  
 DOCUMENT TYPE: CODEN: IJIMET; ISSN: 0255-9625  
 LANGUAGE: English  
 G1



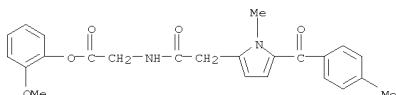
AB The influence of MED 15 (I) [104076-16-6] a recently synthesized nonsteroid anti-inflammatory drug and putative inhibitor of prostaglandin synthetase, on the mouse immune system was evaluated. The drug was compared with tolmetin [26171-23-3]. The results obtained suggest that MED 15 potentiates the *in vitro* primary antibody response, the [<sup>3</sup>H]thymidine and [<sup>3</sup>H]3-leucine incorporation, and the interleukin 2 production, inhibits the spleenocyte chemiluminescence, and has no effect on the primary *in vivo* immunization. Similar results, though less effective, were observed with tolmetin.

IT 87344-06-7

RL: BIOL (Biological study)  
 (immunity response to)

RN 87344-06-7 CAPLUS

CN Glycine, N-[2-[1-methyl-5-(4-methylbenzoyl)-1H-pyrrol-2-yl]acetyl]-, 2-methoxyphenyl ester (CA INDEX NAME)



=> D HIS

(FILE 'HOME' ENTERED AT 12:46:53 ON 15 AUG 2009)

FILE 'REGISTRY' ENTERED AT 12:47:13 ON 15 AUG 2009

L1 STRUCTURE UPLOADED  
L2 STRUCTURE UPLOADED  
L3 4 S L2  
L4 76 S L2 FULL  
L5 33 S L1  
L6 1791 S L1 FULL

FILE 'CAPLUS' ENTERED AT 12:48:00 ON 15 AUG 2009

L7 377 S L6  
L8 96 S L4  
L9 451 S L7 OR L8  
L10 167 S L9 AND INFLAMMATION  
L11 179 S L9 AND INFLAMMATORY  
L12 240 S L10 OR L11  
L13 7 S L12 AND INTERLEUKIN

=> D IBIB ABS HITSTR L12 220-240

L12 ANSWER 220 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:499748 CAPLUS

DOCUMENT NUMBER: 89:99748

ORIGINAL REFERENCE NO.: 89:15115a,15118a

TITLE: Indomethacin esters acting as anti-inflammatory and immunosuppressive drugs

AUTHOR(S): Barasoain, I.; Rojo, J. M.; Sunkel, C.; Portoles, A.

CORPORATE SOURCE: Inst. Immunol. Biol. Microbiol., Velazquez, Spain

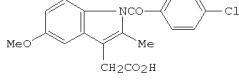
SOURCE: International Journal of Clinical Pharmacology and Biopharmacy (1978), 16(5), 235-9

CODEN: IJCBDX; ISSN: 0340-0026

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Administration of indomethacin (I) [53-86-1] and 10 different I derivs. to mice depressed immune response to sheep red blood cells. Compds. with a lower toxicity than I and predominant immunosuppressive or antiinflammatory activity were obtained. According to the variations in the primary immune response and to their variable antiinflammatory actions, the structure-activity relationships are documented and some possible explanations, relative to their immunodepressive effect, are discussed.

IT 67370-00-7  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antiinflammatory and immunosuppressive activity of)

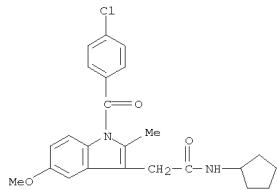
RN 67370-00-7 CAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-cyclopentyl-5-methoxy-2-methyl- (CA INDEX NAME)

L12 ANSWER 220 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)



L12 ANSWER 221 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:484681 CAPLUS

DOCUMENT NUMBER: 89:84681

ORIGINAL REFERENCE NO.: 89:12873a,12876a

TITLE: Correlations of DNA, RNA and protein levels in duodenal mucosa with antiinflammatory potency and disposition to gut damage of non-steroidal agents. Comparative behavior of glucametacaine, indomethacin, phenylbutazone and ibuprofen

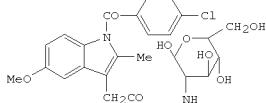
AUTHOR(S): Paroli, E.; Nencini, P.; Anania, M. C.  
CORPORATE SOURCE: 2nd Inst. Med. Pharmacol., Univ. Rome, Rome, Italy  
SOURCE: Arzneimittel-Forschung (1978), 28(5), 819-24

CODEN: ARENAZD; ISSN: 0004-4172

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Glucametacaine (I) [52443-21-7] was half as potent as indomethacin [53-86-1], twice as active as phenylbutazone [50-33-9] and 4 times more effective than ibuprofen [15687-27-1] in preventing cotton granuloma. Both indomethacin and phenylbutazone induced dose related gastrointestinal ulcerations and an increase of <sup>51</sup>Cr-tagged erythrocytes in feces. The former drug displayed gut toxicity at antiinflammatory doses, the latter at doses approx. 4 times larger. I was still devoid of damaging effects at a dose 10 times larger than the minimal one capable

of inhibiting granuloma growth. Ibuprofen also failed to induce ulcers at all doses examined; however, it displayed a trend toward gut bleeding when doses that increased blood corticosterone were given. Studies on duodenal mucosa showed that in rats on cotton granuloma, DNA, proteins and DNA:RNA ratio increase as compared to unimplanted rats. I and phenylbutazone reversed the increase of DNA and proteins, resp. Indomethacin decreased all aforementioned constituents of duodenal mucosa while inducing hemorrhages and ulcers on gut. Furthermore, in naive rats, unlike I and phenylbutazone, indomethacin induced a decrease in protein content of duodenal mucosa. Differences in disposition of gut toxicity among I and other antiinflammatory drugs are discussed.

IT 52443-21-7  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);

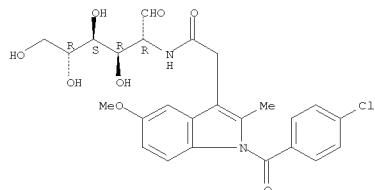
USES (Uses) (pharmacol. of, nucleic acids and proteins of intestine in relation to)

L12 ANSWER 221 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 52443-21-7 CAPLUS

CN D-Glucose, 2-[(2-[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl)amino]-2-deoxy- (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 222 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1977:567682 CAPLUS

DOCUMENT NUMBER: 87:167682

ORIGINAL REFERENCE NO.: 87:26491a,26494a

TITLE: The chemistry of etofenamate, a novel

antiinflammatory

agent from the series of N-arylanthranilic acid derivatives

AUTHOR(S): Boltze, K. H.; Kreisfeld, H.

CORPORATE SOURCE: Abt. Chem. Forsch., Troponwerke G.m.b.H. und Co.

K.-G., Cologne, Fed. Rep. Ger.

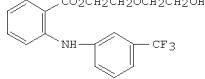
SOURCE: Arzneimittel-Forschung (1977), 27(6B), 1300-12

CODEN: ARZNAD; ISSN: 0004-4172

DOCUMENT TYPE: Journal

LANGUAGE: German

GI



I

AB The title compound (I) was prepared conventionally in several ways (e.g., reaction of 2-(3-CF<sub>3</sub>C<sub>6</sub>H<sub>4</sub>NH)C<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>K with EtOCH<sub>2</sub>CH<sub>2</sub>C<sub>1</sub>) and tested as an inflammation inhibitor. Test data, and in some cases spectral data, for 47 analogs were also given.

IT 64352-91-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);

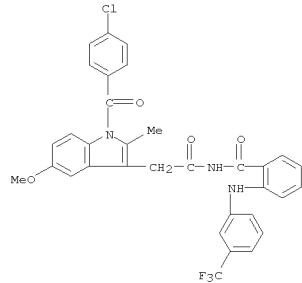
USES (Uses)

(antiinflammatory activity of)

RN 64352-91-6 CAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-[2-[(3-(trifluoromethyl)phenyl)amino]benzoyl]- (CA INDEX NAME)

L12 ANSWER 222 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L12 ANSWER 223 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:577247 CAPLUS

DOCUMENT NUMBER: 85:177247

ORIGINAL REFERENCE NO.: 85:28319a,28322a

TITLE: Aroyl-substituted pyrroles

INVENTOR(S): Carson, John R.

PATENT ASSIGNEE(S): McNeil Laboratories, Inc., USA

SOURCE: U.S., 28 pp. Division of U.S. 3,865,840.

CODEN: USXXAM

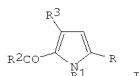
DOCUMENT TYPE: Patent

LANGUAGE: English

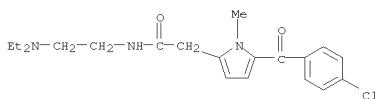
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3952012	A	19760420	US 1974-491965	19740617
FR 8113	M	19700803	FR 1968-8113	19680725
NL 6810664	A	19680120	NL 1968-10664	19680726
NL 162638	B	19800115		
NL 162638	C	19800616		
AT 292685	B	19710910	AT 1970-4463	19680726
AT 292686	B	19710910	AT 1970-4464	19680726
JP 50037668	B	19751204	JP 1968-52917	19680726
US 3752826	A	19730814	US 1970-5958	19700126
EE 762060	A4	19710726	EE 1971-98986	19710126
US 3865840	A	19750211	US 1973-338461	19730216
JP 50039663	B	19751218	JP 1974-26714	19740307
DE 7500133	A	19750804	DE 1975-133	19750117
DE 7500134	A	19750804	DK 1975-134	19750117
DK 135373	B	19770418		
IN 140387	A1	19761030	IN 1975-CA491	19750313
IN 140718	A1	19761211	IN 1975-CA1126	19750605
PRIORITY APPN. INFO.:			US 1967-656074	A2 19670726
		US 1968-741348	A2 19680701	
		US 1970-5958	A3 19700126	
		US 1973-338461	A3 19730216	
		BE 1968-718594	A 19680725	
		DK 1968-3611	A 19680726	
		IN 1970-129759	A1 19701228	

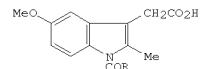
OTHER SOURCE(S): MARPAT 85:177247  
GI

L12 ANSWER 223 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 RN 33369-25-4 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, 5-(4-chlorobenzoyl)-N-[2-(diethylamino)ethyl]-1-methyl- (CA INDEX NAME)

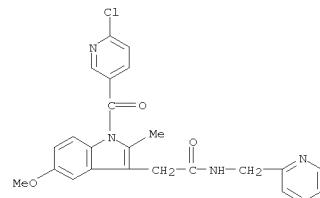


OS.CITING REF COUNT: 28 THERE ARE 28 CAPLUS RECORDS THAT CITE THIS RECORD (35 CITINGS)

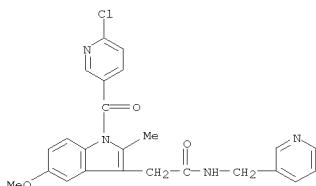
L12 ANSWER 224 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 ACCESSION NUMBER: 1976:446324 CAPLUS  
 DOCUMENT NUMBER: 85146324  
 ORIGINAL REFERENCE NO.: 8517519a, 7522a  
 TITLE: Synthesis of some 1-chloropyridinoyl-2-methyl-5-methoxy-3-indolylacetic acids and pyridylmethylamides of 1-(6-chloronicotinoyl)-2-methyl-5-methoxy-3-indolylacetic acid  
 AUTHOR(S): Biniecki, Stanislaw; Lempke, Tadeusz  
 CORPORATE SOURCE: Inst. Drug Sci., Sch. Med., Warsaw, Pol.  
 SOURCE: Roczniki Chemii (1976), 50(2), 315-21  
 DOCUMENT TYPE: CODEN: ROCHAC; ISSN: 0035-7677  
 LANGUAGE: Journal  
 OTHER SOURCE(S): English  
 GI CASREACT 85:46324



AB The potential antiinflammatory agents I ( $R = 2$ -chloro-3-, 6-chloro-3-, 4-chloro-2-pyridyl) were prepared by cyclization of  $\text{MeCOCH}_2\text{CH}_2\text{CO}_2\text{H}$  with  $\text{p-MeOCH}_2\text{H}_4\text{N}(\text{COR})\text{NHCHO}$ , obtained by condensation of  $\text{p-MeOCH}_2\text{H}_4\text{NNHHCHO}$  with the appropriate (chloropyridyl)carbonyl chloride.  
 IT 59823-63-1P 59823-64-2P 59823-65-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 59823-63-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-[(6-chloro-3-pyridinyl)carbonyl]-5-methoxy-2-methyl-N-(2-pyridinylmethyl)- (CA INDEX NAME)



L12 ANSWER 224 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 RN 59823-64-2 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-[(6-chloro-3-pyridinyl)carbonyl]-5-methoxy-2-methyl-N-(3-pyridinylmethyl)- (CA INDEX NAME)



RN 59823-65-3 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-[(6-chloro-3-pyridinyl)carbonyl]-5-methoxy-2-methyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)

L12 ANSWER 225 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 ACCESSION NUMBER: 1976:43747 CAPLUS  
 DOCUMENT NUMBER: 84:43747  
 ORIGINAL REFERENCE NO.: 84:7169a, 7172a  
 TITLE: Synthesis and antiphlogistic properties of some indolylacetohydroxamic acids

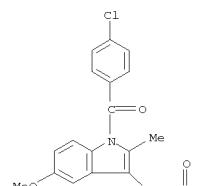
AUTHOR(S): De Martiis, F.; Franzone, J. S.; Tamietto, T.  
 CORPORATE SOURCE: Lab. Ric., Ist. Biol. Chimioter. "ABC", Turin, Italy  
 SOURCE: Bollettino Chimico Farmaceutico (1975), 114(6), 309-18  
 DOCUMENT TYPE: CODEN: BCFAAI; ISSN: 0006-6648  
 LANGUAGE: Italian  
 GI For diagram(s), see printed CA Issue.

AB Indoleacetates I ( $R = \text{COC}_6\text{H}_4\text{Cl}-4$ ,  $\text{R}_1 = \text{Cl}$ ,  $\text{NHOH}$ ,  $\text{NH}_2$ , morpholino,  $\text{NMe}_2$ ,  $\text{OMe}$ ,  $\text{OEt}$ ;  $\text{R} = \text{H}$ ,  $\text{R}_1 = \text{NHOH}$ ;  $\text{R} = \text{CH}_2\text{Ph}$ , allyl,  $\text{R}_1 = \text{OMe}$ ,  $\text{NHOH}$ ;  $\text{R} = \text{CH}_2\text{CO}_2\text{Et}$ ,  $\text{CH}_2\text{CONHOH}$ ,  $\text{R}_1 = \text{OMe}$ ,  $\text{OCMe}_3$ ) were prepared from indometacin.

At 10 mg/kg orally I gave 13-62% inhibition of carrageenin edema in rats and at 5 mg/kg orally caused 12-48% increase in pain threshold in rats.

IT 6264-33-1P 34024-38-9P 34024-39-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and analgesic and antiinflammatory activity of)

RN 6264-33-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (CA INDEX NAME)

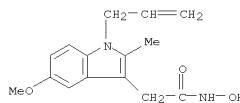


RN 34024-38-9 CAPLUS  
 CN 1H-Indole-3-acetamide, N-hydroxy-5-methoxy-2-methyl-1-(2-propen-1-yl)- (CA INDEX NAME)

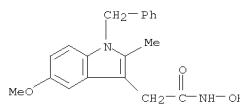
L12 ANSWER 225 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

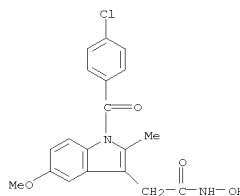
L12 ANSWER 225 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 34024-39-0 CAPLUS  
 CN 1H-Indole-3-acetamide, N-hydroxy-5-methoxy-2-methyl-1-(phenylmethyl)-  
 (CA INDEX NAME)



IT 27035-30-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation, hydrolysis, and pharmacological activity of)  
 RN 27035-30-9 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-hydroxy-5-methoxy-2-methyl-  
 (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

L12 ANSWER 226 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:17731 CAPLUS

DOCUMENT NUMBER: 84:17731

ORIGINAL REFERENCE NO.: 84:2951a,2954a

TITLE: Indolylacetyl amino acid derivatives

INVENTOR(S): Biere, Helmut; Ahrens, Hanns; Rufer, Clemens;

Schroeder, Eberhard; Koch, Henning

PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 19 pp.

CODEN: GWXKBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2413125	A1	19751009	DE 1974-2413125	19740315
DE 2413125	C2	19830630		
DK 7500855	A	19750916	DK 1975-855	19750303
US 3962471	A	19760608	US 1975-557515	19750312
SE 7502833	A	19750916	SE 1975-2833	19750313
DD 116629	A5	19760312	DD 1975-184745	19750313
AU 7579039	A	19760916	AU 1975-79039	19750313
BE 826711	A1	19750915	BE 1975-154355	19750314
NL 7503110	A	19750917	NL 1975-3110	19750314
JP 50129548	A	19751013	JP 1975-31003	19750314
HU 170750	B	19770828	HU 1975-SC513	19750314
FR 2263770	A1	19751010	FR 1975-8200	19750317
PRIORITY APFLN. INFO.:			DE 1974-2413125	A 19740315

GI For diagram(s), see printed CA Issue.

AB Indolylacetyl amino acids I [R = H, R1 = H, R2 = OH (L), R1 = Me, R2 = OH (DL); R1 = H, R2 = CMe (DL); R1 = H, R2 = NHMe (DL); R = Me, R1 = H, R2 = OH (DL)] useful as antiinflammatory agents, were prepared in 50-65%

yields

by treating the indolylacetic acid with  $\text{ClCO}_2\text{CH}_2\text{CHMe}_2$ , then with  $\text{RCH}(\text{OR}_1)\text{CH}(\text{NH}_2)\text{COR}_2$  at -10 to -15°. I (R = R1 = H, R2 = OH) gave 48 and 35% inhibition of rat paw edema after 16 and 40 hr, resp., at 22.3 + 10-6 mole/kg, whereas indometacine II gave 47 and 46%, resp., but II gave more severe stomach lesions than I (R = R1 = H, R2 = OH).

IT 57645-05-3P 57645-06-4P

RL: BAC (Biological activity or effector, except adverse); BSU

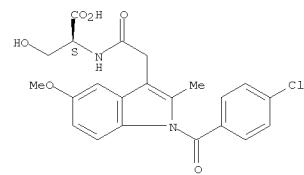
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and antiinflammatory activity of)

RN 57645-05-3 CAPLUS

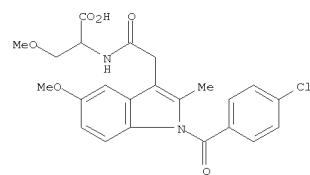
CN L-Serine, N-[2-[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl]- (CA INDEX NAME)

Absolute stereochemistry.

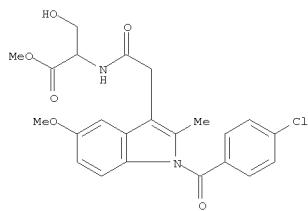
L12 ANSWER 226 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



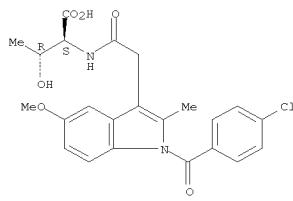
RN 57645-06-4 CAPLUS  
 CN Serine, N-[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl]-O-methyl ester (9CI) (CA INDEX NAME)



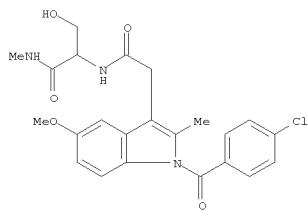
IT 57645-07-5P 57645-08-6P 57645-09-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 57645-07-5 CAPLUS  
 CN Serine, N-[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl]-O-methyl ester (9CI) (CA INDEX NAME)



RN 57645-08-6 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(1-(hydroxymethyl)-2-(methylamino)-2-oxoethyl)-5-methoxy-2-methyl- (CA INDEX NAME)



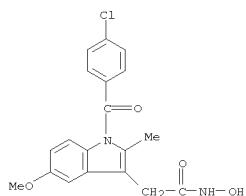
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
 (2 CITINGS)



RN 57645-09-7 CAPLUS  
 CN Threonine, N-[(1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl)acetyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L12 ANSWER 227 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1975:588384 CAPLUS  
 DOCUMENT NUMBER: 83:188384  
 ORIGINAL REFERENCE NO.: 83:29549a,29552a  
 TITLE: Pharmacotoxicological evaluation of a new nonsteroidal antiinflammatory agent, indoxamic acid  
 AUTHOR(S): De Martiis, F.; Corsico, N.; Franzone, J. S.; Tamietto, T.  
 CORPORATE SOURCE: Lab. Ric., Ist. Biol. Chemoter. "ABC", Turin, Italy  
 SOURCE: Bollettino Chimico Farmaceutico (1975), 114(6), 319-33  
 CODEN: BCFAAI; ISSN: 0006-6648  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Italian  
 GI For diagram(s), see printed CA Issue.  
 AB The antiinflammatory, antipyretic, and analgesic activities of indoxamic acid (I) [27035-30-9] were comparable to those of indomethacin (II) [53-86-1], as determined by a number of standard pharmacol. tests on rats and mice. However, I was less toxic than II, and also less ulcerogenic to the gastrointestinal tract. As a result, the therapeutic index of I was 2-4-fold more favorable than that of II.  
 IT RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (pharmacol. and toxicity of)  
 RN 27035-30-9 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-hydroxy-5-methoxy-2-methyl- (CA INDEX NAME)

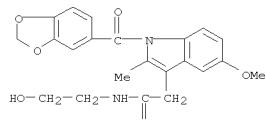


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

L12 ANSWER 228 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1975:140106 CAPLUS  
 DOCUMENT NUMBER: 82:140106  
 ORIGINAL REFERENCE NO.: 82:22387a,22390a  
 TITLE: 3-Indolyl-fatty acid amides and salts thereof  
 INVENTOR(S): Okamoto, Tadashi; Kobayashi, Tsuyoshi; Yamamoto, Hisao  
 PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.  
 SOURCE: Jpn. Tokkyo Koho, 3 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49020591	B	19740525	JP 1969-45689	19690609
PRIORITY APPN. INFO.: JP 1969-45689 19690609				

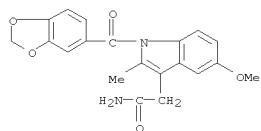
GI For diagram(s), see printed CA Issue.  
 AB 1-(3,4-Methylenedioxybenzoyl)indole-3-acetamide [I, R = NMe<sub>2</sub> (II), morpholino, NHCH<sub>2</sub>CH<sub>2</sub>OH, NH<sub>2</sub>], useful as analgesics, antipyretics, and antiinflammatory agents, were prepared by treating phenylhydrazine III with MeCOCH<sub>2</sub>CH<sub>2</sub>COR. E.g., III was treated with MeCOCH<sub>2</sub>CH<sub>2</sub>CONMe<sub>2</sub> in HOCHMe<sub>2</sub> at 85° for 9 hr to give II.  
 IT 26487-29-6P 55173-50-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 26487-29-6 CAPLUS  
 CN 1H-Indole-3-acetamide,  
 1-(1,3-benzodioxol-5-ylcarbonyl)-N-(2-hydroxyethyl)-  
 5-methoxy-2-methyl- (CA INDEX NAME)



RN 55173-50-7 CAPLUS  
 CN 1H-Indole-3-acetamide,  
 1-(1,3-benzodioxol-5-ylcarbonyl)-5-methoxy-2-methyl- (CA INDEX NAME)

L12 ANSWER 228 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)



L12 ANSWER 228 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1975:125273 CAPLUS  
 DOCUMENT NUMBER: 82:125273  
 ORIGINAL REFERENCE NO.: 82:20011a,20014a  
 TITLE: N1-Acylated phenylhydrazone compounds  
 INVENTOR(S): Yamamoto, Hisao; Nakao, Masaru  
 PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.  
 SOURCE: U.S., 12 pp. Division of U. S. 3,629,284 (CA 76:113060g).  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3770752	A	19731106	US 1970-64842	19700729
DE 1793678	A	19720525	DE 1967-1793678	19660415
DK 123977	B	19720828	DK 1968-1568	19680408
US 3629284	A	19711221	US 1969-838037	19690623
NO 127863	B	19730827	NO 1970-1613	19700427
FI 53307	C	19780410	FI 1971-672	19710308
PRIORITY APPLN. INFO.:			JP 1966-5754	A 19660131
			JP 1965-24928	A 19650426
			JP 1965-75793	A 19651208
			US 1969-838037	19690623
			US 1966-541967	19660412
			JP 1965-23078	A 19650419
			JP 1965-24929	A 19650426
			JP 1965-24930	A 19650426
			JP 1965-73856	A 19651130
			JP 1965-73857	A 19651130
			JP 1965-75430	A 19651207
			JP 1965-75792	A 19651208
			JP 1966-81794	A 19651229
			JP 1966-81795	A 19651229
			JP 1966-81796	A 19651229
			JP 1966-3187	A 19660120

L12 ANSWER 229 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

JP 1966-7276 A 19660207  
 JP 1966-7277 A 19660207  
 NO 1966-162587 A 19660414  
 FI 1966-995 A 19660418

L12 ANSWER 230 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1975:125272 CAPLUS  
 DOCUMENT NUMBER: 82:125272  
 ORIGINAL REFERENCE NO.: 82:20011a,20014a  
 TITLE: d-Indolyl aliphatic acid compounds  
 INVENTOR(S): Yamamoto, Hisao; Nakao, Masaru  
 PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.  
 SOURCE: U.S., 13 pp. Division of U.S. 3,629,284 (CA 76:113060g).  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

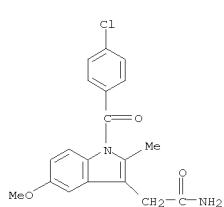
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3822275	A	19740702	US 1970-64841	19700729
DE 1793670	A	19720525	DE 1967-1793678	19660415
DE 1795671	A	19730412	DE 1967-1795671	19660415
DK 123977	B	19720828	DK 1968-1568	19680408
US 3629284	A	19711221	US 1969-838037	19690623
NO 127863	B	19730827	NO 1970-1613	19700427
FI 53307	C	19780410	FI 1971-672	19710308
FI 48834	B	19740930	FI 1972-459	19720221
PRIORITY APPLN. INFO.:			JP 1965-24928	A 19650426
			JP 1965-75793	A 19651208
			JP 1966-5754	A 19660131
			JP 1966-7276	A 19660207
			JP 1966-7277	A 19660207
			US 1966-541967	A 19660412
			US 1969-838037	A 19690623
			JP 1965-23078	A 19650419
			JP 1965-24929	A 19650426
			JP 1965-73856	A 19651130
			JP 1965-73857	A 19651130
			JP 1965-75430	A 19651207
			JP 1965-75792	A 19651208
			JP 1966-81794	A 19651229
			JP 1966-81795	A 19651229
			JP 1966-81796	A 19651229

GI For diagram(s), see printed CA Issue.  
 AB The indoles I (n = 1,3; R = H, Et; R1 = Ph, p-ClC6H4, 3-pyridyl, 4-pyridyl, etc; R2 = H, MeO) were prepared from acylhydrazines. Thus, p-MeOC6H4NNH2:CMc2 was treated with p-ClC6H4COCl and the product treated with HCl to give p-MeOC6H4NNH2:CC6H4Cl-p:HCl, which was cyclized with MeCO(CH2)4CO2H to give I (n = 3, R = H, R1 = p-ClC6H4, R2 = MeO). The antiinflammatory ED50 of I (n = 1, R = H, R1 = 3-pyridyl, R2 = MeO) is 105 mg/kg. I are antipyretic and analgesic.

IT 6264-33-1P RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 6264-33-1 CAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (CA INDEX NAME)



L12 ANSWER 230 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
 (Continued)  
 JP 1966-3187 A 19660120  
 NO 1966-162587 A 19660414  
 FI 1966-995 A 19660418

GI For diagram(s), see printed CA Issue.  
 AB Indomethacin analogs I (R = 3-pyridyl, 4-pyridyl, 2-thienyl, 2-furyl, 5-chloro-2-thienyl, Ph, 2-naphthyl; p-BrC<sub>6</sub>H<sub>4</sub>; R<sub>2</sub> = Cl, Me, OMe, CF<sub>3</sub>, SMe, Br, F; R<sub>1</sub> = H, OMe, Me, SMe, Cl, F, NO<sub>2</sub>, OEt), some of their esters and some related indolealkanoic acids were prepared. Thus, I (R = 3-pyridyl,

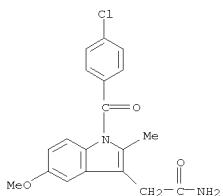
R<sub>1</sub> = OMe) (II) was obtained by acylating p-MeOC<sub>6</sub>H<sub>4</sub>HNH:CHMe with nicotinoyl chloride, treating with HCl(g) to give

N-nicotinoyl-N-(p-methoxyphenyl)hydrazine, which (4.9 g) was condensed with 17.6 g levulinic acid to give 5.8 g II. On the carrageenan edema test in rats II had an oral ED<sub>50</sub> of 80 mg/kg and a therapeutic ratio of >18.8.

IT 6264-33-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 6264-33-1 CAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

L12 ANSWER 231 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 GI For diagram(s), see printed CA Issue.  
 AB RCON(NH<sub>2</sub>)C<sub>6</sub>H<sub>4</sub>R<sub>1</sub> (I, R = p-ClC<sub>6</sub>H<sub>4</sub>, Ph, p-MeOC<sub>6</sub>H<sub>4</sub>, p-F3CC<sub>6</sub>H<sub>4</sub>, p-BrC<sub>6</sub>H<sub>4</sub>, p-FC<sub>6</sub>H<sub>4</sub>, 3-pyridyl, 4-pyridyl, 2-thienyl, 5-chloro-2-thienyl, 2-furyl, p-MeSC<sub>6</sub>H<sub>4</sub>, 2-naphthyl; R<sub>1</sub> = H, p-Cl, p-Me, p-F, m-Me, p-MeS, p-NO<sub>2</sub>, p-EtO) (25 compds.) were prepared by acylating

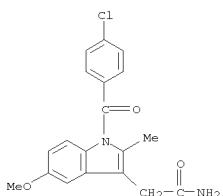
MeCH: -NNHC<sub>6</sub>H<sub>4</sub>R<sub>1</sub> and then treating the MeCH:NN(COR)C<sub>6</sub>H<sub>4</sub>R<sub>1</sub> with HCl(g). I were cyclized with RCO<sub>2</sub>CO<sub>2</sub>R<sub>3</sub> (R<sub>2</sub> = H, Me; Z = CH<sub>2</sub>, CHMe, (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>; R<sub>3</sub> = H, Me, Et, CMe<sub>3</sub>, CH<sub>2</sub>Ph) to give the indoles II (42 compds.). II (R = 3-pyridyl, 4-pyridyl, R<sub>1</sub> = 5-Me, R<sub>2</sub> = Me, R<sub>3</sub> = H, Z = CH<sub>2</sub>) had oral

antiinflammatory ED<sub>50</sub> in the rat paw edema test of 80 and 105 mg/kg, resp., and therapeutic ratios >18.8 and >14.3, resp.

IT 6264-33-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 6264-33-1 CAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (CA INDEX NAME)



L12 ANSWER 231 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
 (Continued)  
 ACCESSION NUMBER: 1974:449563 CAPLUS  
 DOCUMENT NUMBER: 8149563  
 ORIGINAL REFERENCE NO.: 8117911a, 7914a  
 TITLE: N'-Heteroacylated phenylhydrazines  
 INVENTOR(S): Yamamoto, Hisao; Nakao, Masaru  
 PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.  
 SOURCE: U.S., 12 pp. Division of U.S. 3,629,284 (CA 76,113060G).  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3810906	A	19740514	US 1970-64843	19700729
US 3629284	A	19711221	US 1969-838037	19690623
			US 1966-541967	A1 19660412
			US 1969-838037	A3 19690623
			JP 1965-23078	A 19650419
			JP 1965-24928	A 19650426
			JP 1965-24929	A 19650426
			JP 1965-24930	A 19650426
			JP 1965-73856	A 19651130
			JP 1965-73857	A 19651130
			JP 1965-75430	A 19651207
			JP 1965-75792	A 19651208
			JP 1965-75793	A 19651208
			JP 1966-81794	A 19651229
			JP 1966-81795	A 19651229
			JP 1966-81796	A 19651229
			JP 1966-3187	A 19660120
			JP 1966-5754	A 19660131
			JP 1966-7276	A 19660207
			JP 1966-7277	A 19660207

L12 ANSWER 232 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
 (Continued)  
 ACCESSION NUMBER: 1974:83529 CAPLUS  
 DOCUMENT NUMBER: 80:83529  
 ORIGINAL REFERENCE NO.: 80:13452a, 13456a  
 TITLE: Antiinflammatory di(+) -glucosamide of 1-(4-chlorobenzoyl)-2-methyl-5-methoxyindole-3-acetic acid

INVENTOR(S): Antoniu, Demetrio; Ganzina, Fabrizio; Magi, Mario; Serino, Enrico; Paroli, Eugenio; Samuelli, Fabio  
 PATENT ASSIGNEE(S): SIR Laboratori Chimico Biologici S.p.A.  
 SOURCE: Ger. Offen., 12 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2223051	A1	19731213	DE 1972-2223051	19720512
DE 2223051	C2	19830203		
AU 7355064	A	19741107	AU 1973-55064	19730501
GB 1383465	A	19750212	GB 1973-21278	19730504
ZA 7303106	A	19740327	ZA 1973-3106	19730508
CH 606072	A5	19781013	CH 1973-6511	19730508
NL 7306501	A	19731113	NL 1973-6501	19730509
NL 179136	B	19860217		
NL 179136	C	19860716		
FR 2184033	A1	19731221	FR 1973-16793	19730509
JP 49066814	A	19740628	JP 1973-50816	19730509
JP 61003800	B	19860204		
CA 980767	A1	19751230	CA 1973-170835	19730509
			IT 1972-24118	A 19720509
			IT 1973-20391	19730214

AB The glucosamide (I) of the indoleacetic acid was prepared by amidation of the acid chloride with glucosamine in inert solvents in the presence of NaOH. I had antiphlogistic activity at low toxicity.

IT 52443-21-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

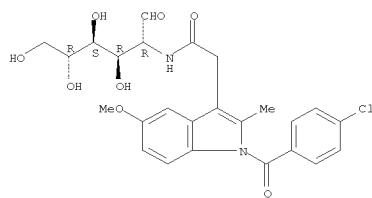
RN 52443-21-7 CAPLUS

CN D-Glucose, 2-[[2-[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl]amino]-2-deoxy- (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 232 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)

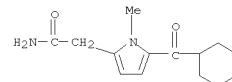


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L12 ANSWER 233 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1974:3377 CAPLUS  
DOCUMENT NUMBER: 80:3377  
ORIGINAL REFERENCE NO.: 80:587a,590a  
TITLE: Antiinflammatory 5-acylpyrroles  
INVENTOR(S): Carson, John R.  
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.  
SOURCE: Ger. Offen., 27 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

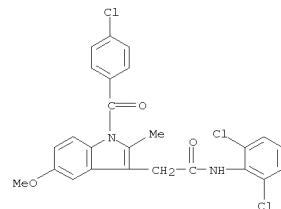
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2302671	A1	19730726	DE 1973-2302671	19730119
US 3802169	A	19740409	US 1972-219861	19720121
US 3803171	A	19740409	US 1972-219864	19720121
AU 7250905	A	19740711	AU 1973-50905	19730109
BE 794160	A1	19730717	BE 1973-126560	19730117
FR 2183658	A1	19731221	FR 1973-1764	19730117
AT 7300473	A	19750215	AT 1973-473	19730119
AT 326115	B	19751125		
GB 1390866	A	19750416	GB 1973-2054	19730119
CA 996939	A1	19760914	CA 1973-161656	19730119
CH 587815	A5	19770513	CH 1973-824	19730119
JP 48080556	A	19731029	JP 1973-9400	19730122
PRIORITY APPLN. INFO.:				US 1972-219861
				A 19720121
				US 1972-219864
				A 19720121

GI For diagram(s), see printed CA Issue.  
AB Ten pyrroles (I, R = H, Me, or Et; R1 = H or Me; R2 = CN, CONH2, CO2H, or CO2Et; R3 = PhCH2CO or cyclohexylcarbonyl) were prepared by reaction of I (R3 = H) with R3Cl in the presence of AlCl3 or SnCl4 and optionally subsequent alkylation. Hydrolysis of I (R2 = CN) gave I (R2 = CONH2 or CO2H (II)). II were also prepared by saponification of I (R2 = CO2Et).  
II had antiinflammatory activity in rats.  
IT 50551-23-0  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 50551-23-0 CAPLUS  
CN 1H-Pyrrole-2-acetamide, 5-(cyclohexylcarbonyl)-1-methyl- (CA INDEX NAME)

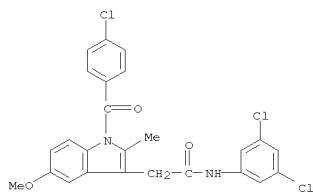


L12 ANSWER 233 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

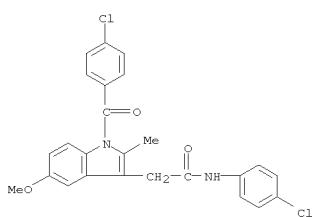
L12 ANSWER 234 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1973:442278 CAPLUS  
DOCUMENT NUMBER: 79:42278  
ORIGINAL REFERENCE NO.: 79:6873a,6876a  
TITLE: Substituted anilides of 1-(p-chlorobenzoyl)-5-methoxy-2-methylindole-3-acetic acid  
AUTHOR(S): Linari, G.; Spano, R.  
CORPORATE SOURCE: Ist. Farm. Biol., Stroder s.r.l., Florence, Italy  
SOURCE: Arzneimittel-Forschung (1973), 23(1), 89-91  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI For diagram(s), see printed CA Issue.  
AB Twenty-five title compds. (I, R = H, 2-, 3-, or 4-Cl or -Br or -NO2, 2,3-, 2,4-, 2,5-, 2,6-, 3,4-, or 3,5-C12, 2,4- or 2,5-Br2, 4,2-, 4,3-, or 2,4-CINO2, 2,5-Me2, 2,3,4,5,2,4-, or 2,5-MeCl) were prepared by reaction of indometacin (II) with H2NC6H5-NH and PCl3. The antiinflammation and antipyretic effects of I were not higher than that of II. With respect to the analgesic activity, only I (Rn = 2,4-, 2,5-, 2,6- or 3,5-C12, 2,4- or 2,5-Br2, and 3-NO2) were more effective than II.  
IT 41736-43-0P 41736-44-1P 41752-62-9P  
41752-63-0P 41752-64-1P 41752-65-2P  
41752-66-3P 41752-67-4P 41752-68-5P  
41752-69-6P 41752-70-9P 41752-71-0P  
41752-72-1P 41752-73-2P 41752-74-3P  
41752-75-4P 41752-76-5P 41752-77-6P  
41752-78-7P 41752-79-8P 41752-80-1P  
41752-81-2P 41752-82-3P 41752-83-4P  
41752-84-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 41736-43-0 CAPLUS  
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(2,6-dichlorophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



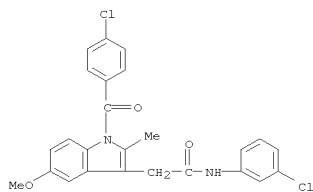
RN 41736-44-1 CAPLUS  
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(3,5-dichlorophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



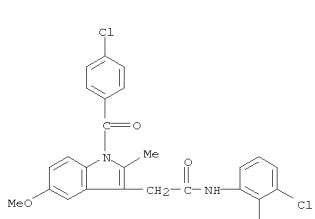
RN 41752-62-9 CAPLUS  
 CN 1H-Indole-3-acetamide,  
 1-(4-chlorobenzoyl)-N-(3-chlorophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



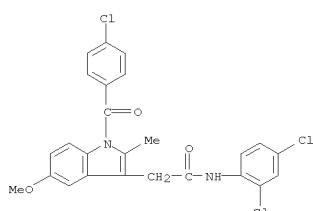
RN 41752-64-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(2,3-dichlorophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



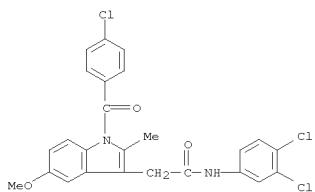
RN 41752-63-0 CAPLUS  
 CN 1H-Indole-3-acetamide,  
 1-(4-chlorobenzoyl)-N-(4-chlorophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



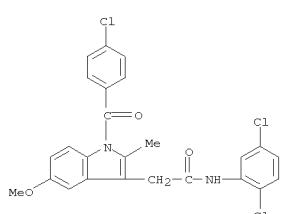
RN 41752-65-2 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(2,4-dichlorophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



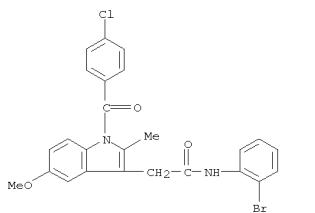
RN 41752-66-3 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(2,5-dichlorophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



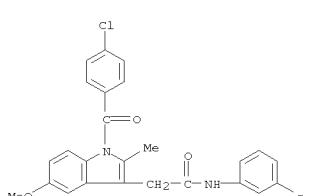
RN 41752-68-5 CAPLUS  
 CN 1H-Indole-3-acetamide, N-(2-bromophenyl)-1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (CA INDEX NAME)



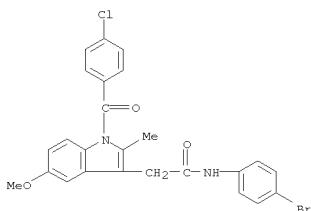
RN 41752-67-4 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(3,4-dichlorophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



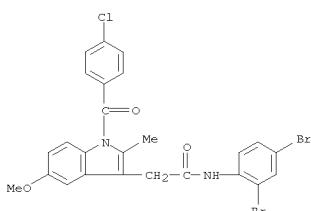
RN 41752-69-6 CAPLUS  
 CN 1H-Indole-3-acetamide, N-(3-bromophenyl)-1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (CA INDEX NAME)



L12 ANSWER 234 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 RN 41752-70-9 CAPLUS  
 CN 1H-Indole-3-acetamide, N-(4-bromophenyl)-1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (CA INDEX NAME)

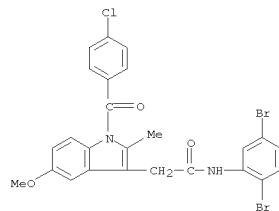


RN 41752-71-0 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(2,4-dibromophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)

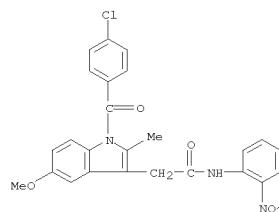


RN 41752-72-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(2,5-dibromophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)

L12 ANSWER 234 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



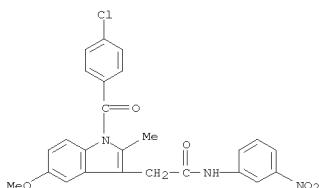
RN 41752-73-2 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(2-nitrophenyl)- (CA INDEX NAME)



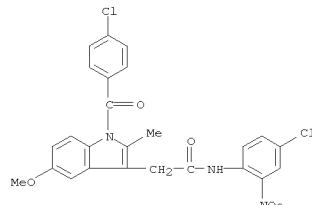
RN 41752-74-3 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(3-nitrophenyl)- (CA INDEX NAME)

L12 ANSWER 234 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

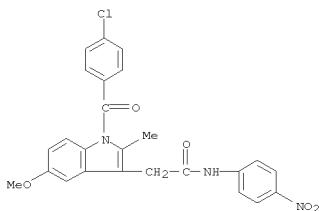
L12 ANSWER 234 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



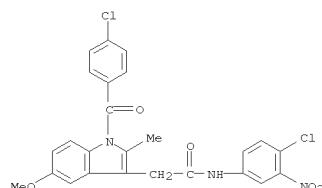
RN 41752-75-4 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



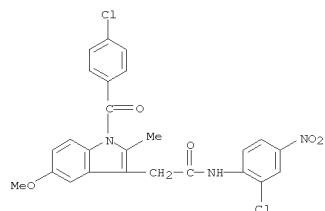
RN 41752-77-6 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(4-chloro-3-nitrophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



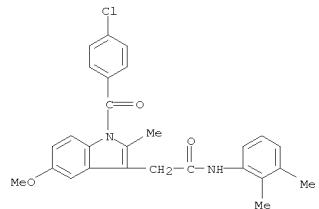
RN 41752-76-5 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(4-chloro-2-nitrophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



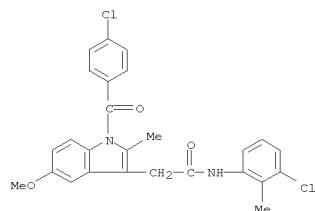
RN 41752-78-7 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(2-chloro-4-nitrophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



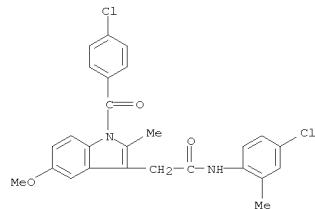
RN 41752-79-8 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(2,3-dimethylphenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



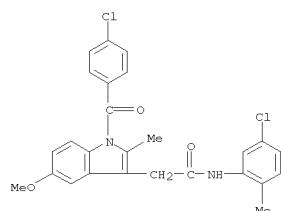
RN 41752-80-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(3-chloro-2-methylphenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



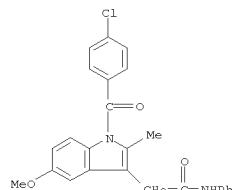
RN 41752-81-2 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(4-chloro-2-methylphenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



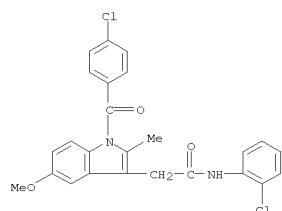
RN 41752-82-3 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(5-chloro-2-methylphenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



RN 41752-83-4 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-N-phenyl- (CA INDEX NAME)



RN 41752-84-5 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(2-chlorophenyl)-5-methoxy-2-methyl- (CA INDEX NAME)



L12 ANSWER 235 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1973:84254 CAPLUS  
 DOCUMENT NUMBER: 78:84254  
 ORIGINAL REFERENCE NO.: 78:13445a, 13448a  
 TITLE: N-(1-Acyl-2-methyl-5-methoxyindole-3-acetyl)methionines  
 INVENTOR(S): Okamoto, Tadashi; Kobayashi, Tsuyoshi; Sato, Hiromi; Yamamoto, Hisao  
 PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.  
 SOURCE: Jpn. Tokkyo Koho, 2 pp.  
 CODEN: JAXXAD  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE  
 JP 47044221 B4 19721108 JP 1969-90956 19691112

GI For diagram(s), see printed CA issue.  
 AB The title compds. (I) are anti-inflammatory agents with less side effects. Thus, 1-cinnamoyl-2-methyl-5-methoxyindole-3-acetic acid was activated with  $\text{ClCO}_2\text{Et}$  and  $\text{Et}_3\text{N}$  in THF and added to L-methionine and KOH in  $\text{H}_2\text{O}$  to give I (R =  $\text{PhCH}_2\text{CH}_3$ ). Also prepared were I [R =  $\text{p-ClC}_6\text{H}_4$  and

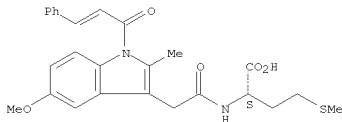
3,4-(methylenedioxy)phenyl].

IT 39779-12-9 39779-13-0 39779-14-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (antiinflammatory)

RN 39779-12-9 CAPLUS

CN L-Methionine, N-[5-methoxy-2-methyl-1-(1-oxo-3-phenyl-2-propenyl)-1H-indol-3-yl]acetyl] (9CI) (CA INDEX NAME)

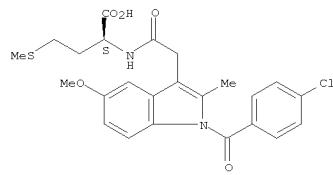
Absolute stereochemistry.  
 Double bond geometry unknown.



RN 39779-13-0 CAPLUS  
 CN L-Methionine, N-[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl] (9CI) (CA INDEX NAME)

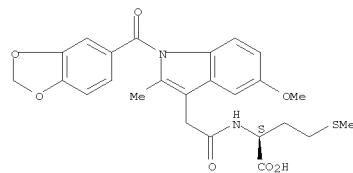
Absolute stereochemistry.

L12 ANSWER 235 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 39779-14-1 CAPLUS  
 CN L-Methionine, N-[1-(1,3-benzodioxol-5-ylcarbonyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 236 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1972:113060 CAPLUS  
 DOCUMENT NUMBER: 76:113060  
 ORIGINAL REFERENCE NO.: 76:18253a, 18256a  
 TITLE: Antiinflammatory N-acylindole-3-aliphatic acid derivatives  
 INVENTOR(S): Yamamoto, Hisao; Nakao, Masaru  
 PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.  
 SOURCE: U.S., 15 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE  
 US 2629284 A 19711221 US 1969-838037 19690623  
 JP 49045386 B 19741204 JP 1965-24929 19650426  
 JP 49045387 B 19741204 JP 1965-24930 19650426  
 DE 1733678 A 19720525 DE 1967-1733678 19660415  
 DE 1735671 A 19730412 DE 1967-1795671 19660415  
 AT 277211 B 19691210 AT 1967-6440 19660418  
 CH 517077 A 19711231 CH 1966-517077 19660418  
 CH 517078 A 19711231 CH 1966-517078 19660418  
 SE 361879 B 19731119 SE 1968-17388 19660418  
 CS 152995 B2 19740222 CS 1972-1101 19660418  
 BR 6786194 D0 19731226 BR 1967-1861194 19670116  
 DR 123977 B 19720828 DR 1968-1568 19680408  
 DR 127639 B 19731210 DR 1968-1569 19680408  
 NO 127863 B 19730827 NO 1970-1613 19700427  
 US 3770752 A 19731106 US 1970-64842 19700729  
 US 3810906 A 19740514 US 1970-64843 19700729  
 US 3822275 A 19740702 US 1970-64841 19700729  
 FI 53307 C 19780410 FI 1971-672 19710308  
 FI 48834 B 19740930 FI 1972-459 19720221  
 PRIORITY APPLN. INFO.: JP 1965-23078 A 19650419  
 JP 1965-24928 A 19650426  
 JP 1965-24929 A 19650426  
 JP 1965-24930 A 19650426  
 JP 1965-73856 A 19651130  
 JP 1965-73857 A 19651130  
 JP 1965-75430 A 19651207  
 JP 1965-75792 A 19651208  
 JP 1965-75793 A 19651208  
 JP 1966-81794 A 19651229  
 JP 1966-81795 A 19651229  
 JP 1966-81796 A 19651229

L12 ANSWER 236 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 JP 1966-3187 A 19660120

JP 1966-5754 A 19660131

JP 1966-7276 A 19660207

JP 1966-7277 A 19660207

US 1966-541967 19660412

NO 1966-162587 A 19660414

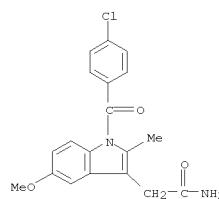
FI 1966-995 A 19660418

US 1969-838037 19690623

GI For diagram(s), see printed CA issue.  
 AB The hydrazine (I, R = nicotinoyl, R1 = MeO) (II) was treated with  $\text{Ac}(\text{CH}_2)_2\text{CO}_2\text{H}$  to give the indoleacetic acid (III, n = 1, R = nicotinoyl, R1 = MeO, R2 = R3 = H) (IV). About 90 similar III (R = nicotinoyl, 2-thenoyl, 2-fuoyl, isonicotinoyl, p-ClC6H4CO, p-MeC6H4CO, Bz, p-MeC6H4CO, p-MeC6H4CO,  $\beta$ -naphthoyl, p-BrC6H4CO, p-FC6H4CO; R1 = H, MeO, Me, Cl, F, Eto; R2 = H, Me; R3 = H, tert-Bu, PhCH2, Me, Et; n = 1, 2,

3) were prepared V (R =  $\text{CH}(\text{CO}_2\text{Et})_2$ ,  $\text{CH}_2\text{CONH}_2$ ) were similarly prepared II was prepared by treatment of p-MeC6H4-NHMe with nicotinoyl chloride and treatment of the product with HCl. Several similar I (R1 = Me, MeO, Cl, R = nicotinoyl, 2-thenoyl, 2-fuoyl, isonicotinoyl, p-ClC6H4CO, p-MeC6H4CO) were prepared The LD50/ED50 was  $>18.8$  for IV (indometacin was  $<6.5$ ).

IT 6264-33-1P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 6264-33-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

L12 ANSWER 236 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (4 CITINGS)

(Continued)

L12 ANSWER 237 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:72402 CAPLUS

DOCUMENT NUMBER: 76:72402

ORIGINAL REFERENCE NO.: 76:11656h,11657a

TITLE: Antiinflammatory, antipyretic, and analgesic

2-methyl-5-methoxy-3-indoleacetohydroxamic acids

De Martiis, Franco; Arrigoni-Martelli, Edoardo;

Tamiello, Teresio

Instituto Biologico Chemioterapico "ABC" S.p.A.

U.S., 5 pp.

CODEN: USXXAM

SOURCE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3624103	A	19711130	US 1969-822320	19690506
NL 6810284	A	19691125	NL 1968-10284	19680719
PRIORITY APPLN. INFO.:			IT 1968-51749	A 19680521

GI For diagram(s), see printed CA Issue.

AB 2-Methyl-5-methoxy-3-indoleacetohydroxamic acids (I, R = allyl, benzyl, p-chlorobenzoyl, and H) were prepared and showed antiinflammatory, antipyretic, analgesic effects in rats. In an example, I (R = allyl) was prepared by treatment of the corresponding Me indoleacetate (II) with

NH<sub>2</sub>OHin alc. II was prepared by treatment of Me 2-methyl-5-methoxy-3-indoleacetate with NaH and CH<sub>2</sub>:CHCH<sub>2</sub>Cl in DMF.

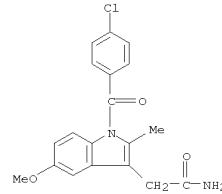
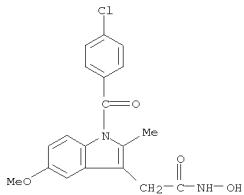
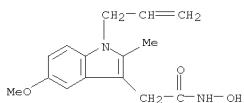
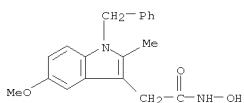
IT 6264-33-1P 27035-30-9P 34024-38-9P

34024-39-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

RN 6264-33-1 CAPLUS

CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (CA INDEX NAME)

L12 ANSWER 237 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
RN 27035-30-9 CAPLUS  
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-hydroxy-5-methoxy-2-methyl- (CA INDEX NAME)RN 34024-38-9 CAPLUS  
CN 1H-Indole-3-acetamide, N-hydroxy-5-methoxy-2-methyl-1-(2-propen-1-yl)- (CA INDEX NAME)RN 34024-39-0 CAPLUS  
CN 1H-Indole-3-acetamide, N-hydroxy-5-methoxy-2-methyl-1-(phenylmethyl)- (CA INDEX NAME)OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L12 ANSWER 238 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:551668 CAPLUS

DOCUMENT NUMBER: 75:151668

ORIGINAL REFERENCE NO.: 75:23921a,23924a

TITLE: Pharmaceutical

2-methyl-5-methoxy-3-indolylacetohydroxamic acid derivatives

INVENTOR(S): De Martiis, Franco; Arrigoni-Martelli, Edoardo;

Tamiello, Teresio

PATENT ASSIGNEE(S): Istituto Biologico Chemioterapico ABC S.p.A.

SOURCE: Ger. Offen., 17 pp.

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2008332	A	19710902	DE 1970-2008332	19700223
DE 2008332	B2	19790809		
DE 2008332	C3	19800522		
PRIORITY APPLN. INFO.:			DE 1970-2008332	19700223

GI For diagram(s), see printed CA Issue.

AB Indolylacetohydroxamic acids (I) (where R=NHOH, R<sub>1</sub>=CH<sub>2</sub>CH:CH<sub>2</sub>, PhCH<sub>2</sub>, p-ClC<sub>6</sub>H<sub>4</sub>CO, H) with antiphlogistic, antipyretic and analgesic effects and oral LD<sub>50</sub> 79-107 mg/kg in rats were prepared by reaction of the corresponding indolylacetic esters or acid chlorides with NH<sub>2</sub>OH. Thus, a mixture of 0.9 g I (R=OMe, R<sub>1</sub>=CH<sub>2</sub>CH:CH<sub>2</sub>) (II) in 4 ml MeOH and 14 ml1/150 M NH<sub>2</sub>OH solution in MeOH was refluxed 30 min, MeOH distilled, and the pH adjusted

to 6-6.5 with 2N HCl to give 0.55 g 1-allyl-2-methyl-5-methoxy-3-indolylacetohydroxamic acid. II was prepared

by treatment of I (R=OMe, R<sub>1</sub>=H) with NaHDMF, addition of CH<sub>2</sub>:CHCH<sub>2</sub>Cl in DMF, and 48 hr cooling of the mixture

IT 27035-30-9 34024-38-9 34024-39-0

RL: RCT (Reactant); RACT (Reactant or reagent)

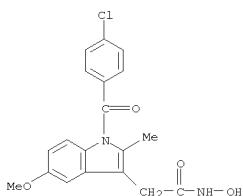
(analgesics and antiphlogistics)

RN 27035-30-9 CAPLUS

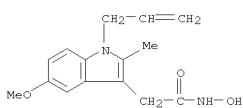
CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-hydroxy-5-methoxy-2-methyl- (CA INDEX NAME)

L12 ANSWER 238 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

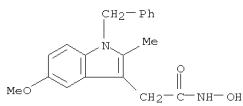
(Continued)



RN 34024-38-9 CAPLUS  
 CN 1H-Indole-3-acetamide, N-hydroxy-5-methoxy-2-methyl-1-(2-propen-1-yl)- (CA INDEX NAME)



RN 34024-39-0 CAPLUS  
 CN 1H-Indole-3-acetamide, N-hydroxy-5-methoxy-2-methyl-1-(phenylmethyl)- (CA INDEX NAME)



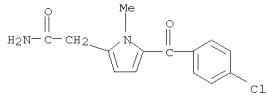
L12 ANSWER 239 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)  
 or substituted phenyl; R = H, Me, Et, or CH<sub>2</sub>Ph; R<sub>1</sub> = CH<sub>2</sub>CO<sub>2</sub>H, CH<sub>2</sub>CO<sub>2</sub>Et, CH<sub>2</sub>CN, CONH<sub>2</sub>, CH(Me)CO<sub>2</sub>H, CONHCH<sub>2</sub>CH<sub>2</sub>NEt<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H, or CH<sub>2</sub>CONHOH; R<sub>2</sub> = H, CO<sub>2</sub>H, or CO<sub>2</sub>Et; R<sub>3</sub> = H, Me, or Et) were tested and (or) mostly prep'd. by acylation of the corresponding pyrroles. Thus, refluxing 5-methyl-2-thenyl chloride and (1-methylpyrrol-2-yl)acetonitrile in the presence of AlCl<sub>3</sub> in CHCl<sub>2</sub>Cl gave I (Ar = 5-methyl-2-thienyl, R = Me, R<sub>1</sub> = CH<sub>2</sub>CN, R<sub>2</sub> = R<sub>3</sub> = H) (II). Hydrolysis of II with NaOH in EtOH gave I (Ar = 5-methyl-2-thienyl, R = Me, R<sub>1</sub> = CO<sub>2</sub>H, R<sub>2</sub> = R<sub>3</sub> = H). About 70 compds. were prep'd. and (or) tested.

IT 26235-67-6P 26235-68-7P 33369-24-3P

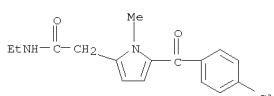
33369-25-4P 33369-51-6P  
 Kl: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 26235-67-6 CAPLUS

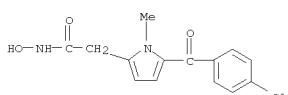
CN 1H-Pyrrole-2-acetamide, 5-(4-chlorobenzoyl)-1-methyl- (CA INDEX NAME)



RN 26235-68-7 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, 5-(4-chlorobenzoyl)-N-ethyl-1-methyl- (CA INDEX NAME)



RN 33369-24-3 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, 5-(4-chlorobenzoyl)-N-hydroxy-1-methyl- (CA INDEX NAME)



RN 33369-25-4 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, 5-(4-chlorobenzoyl)-N-[2-(diethylamino)ethyl]-1-methyl- (CA INDEX NAME)

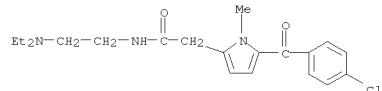
L12 ANSWER 239 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:498436 CAPLUS  
 DOCUMENT NUMBER: 7515561a,15564a  
 ORIGINAL REFERENCE NO.: 7515561a,15564a  
 TITLE: Antiinflammatory 5-arylpurroles  
 INVENTOR(S): Carson, John R.  
 PATENT ASSIGNEE(S): McNeil Laboratories Inc.  
 SOURCE: Ger. Offen., 59 pp. Addn. to Ger. Offen. 1,770,984.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

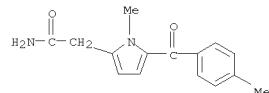
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2102746	A	19710812	DE 1971-2102746	19710123
US 3752826	A	19730814	US 1970-5958	19700126
CA 547279	A	19740329	CA 1971-1015	19710122
GB 19700883	A5	19750930	CA 1973-6679	19710122
FR 2081455	A6	19711203	FR 1971-2343	19710125
FR 2081455	A2	19711203		
ZA 7100470	A	19720927	ZA 1971-470	19710125
AT 308735	B	19730725	AT 1972-7005	19710125
AT 313268	B	19740211	AT 1971-592	19710125
AT 313270	B	19740211	AT 1972-7006	19710125
AT 313271	B	19740211	AT 1972-7007	19710125
IL 36055	A	19740516	IL 1971-36055	19710125
SE 389670	B	19761115	SE 1971-820	19710126
BE 762060	A4	19710726	BE 1971-98986	19710126
NL 7101016	A	19710728	NL 1971-1016	19710126
JP 56000426	B	19810108	JP 1971-2589	19710126
GB 1327308	A	19730822	GB 1971-20200	19710419
IN 140718	A1	19761211	IN 1975-CA1126	19750605
JP 55033401	A	19800308	JP 1978-7118	19780125
JP 58002935	B	19830119		
JP 55033402	A	19800308	JP 1978-7119	19780125
JP 58002936	B	19830119		
JP 55162767	A	19801218	JP 1980-76033	19800605
JP 57045428	B	19820928		
JP 55162766	A	19801218	JP 1980-76034	19800605
JP 59001711	B	19840113		
PRIORITY APPLN. INFO.:				
			US 1970-5958	A 19700126
			US 1967-656074	A2 19670726
			US 1968-741348	A2 19680701
			BE 1968-718594	A 19680725
			IN 1970-129759	A1 19701228

GI For diagram(s), see printed CA Issue.  
 AB The antiinflammatory title compds. (I, Ar = 2-thienyl, 5-methyl-2-thienyl,

L12 ANSWER 239 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 33369-51-6 CAPLUS  
 CN 1H-Pyrrole-2-acetamide, 1-methyl-5-(4-methylbenzoyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)

L12 ANSWER 240 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1965:90798 CAPLUS  
 DOCUMENT NUMBER: 62:90798  
 ORIGINAL REFERENCE NO.: 62:16198e-h,16199a-h  
 TITLE: Indole acid amides  
 PATENT ASSIGNEE(S): Merck & Co., Inc.  
 SOURCE: 40 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

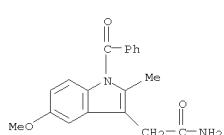
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6404781	19641102	NL 1964-4781	19640429	
BE 647413	BE			
US 3285908	US			
PRIORITY APPLN. INFO.:	US		19630430	

AB I showed antiinflammatory and analgesic properties. 25 g. 4-MeOC6H4HNHH2.HCl (II) (25 g.) and 20 g. AcCH2CHMeCO2Et (III) in 250 ml. 2N ethanolic HCl heated a few min. on a steam bath gave an exothermal reaction with NH4Cl separation and the mixture refluxed 30 min. to yield I (R = COC6H4Me-4, R1 = OMe, R2 = Me, R3 = OEt). b0.25 150-3° m. 58-59°. Similarly, 4-MeOC6H4HNHH2.HCl and III gave the 5-Me analog of IV, m. 88-8.5°. A suspension of 2.3 g. 50% NaH in mineral oil and 250 ml. MeCONa2 stirred 20 min. under N and ice-cooled, 8.64 g. IV added, stirred 20 min., 8.6 g. 4-MeOC6H4COCl in 50 ml. MeCONa2 added dropwise in 30 min. and the ice-cooled mixture stirred 5 hrs. under N gave I (R = COC6H4Me-4, R1 = OMe, R2 = Me, R3 = OEt). I (R = R2 = H, R1 = R3 = OMe) (V) gave the N-COC6H4Cl-4 analog (VI), m. 99-100°, after treatment with NaI and 4-C16H4COCl. Similarly, the following I (R1 = OMe) were prepared (R = R2, R3, and m.p. given): COC6H4(Me)Me-4,2, Me, OEt, --; COC6H4Cl-4, Me, OEt, --; COC6H4F-4, Me, OEt, --; COC6H4OMe-4, H, OH, 88-89°; COC6H4OMe-4, Me, OH, 65°; COC6H4Br-4, H, OMe, 106-7.5°; COC6H4NO2-4, H, OMe, 130-2°; COC6H4Cl-2, H, OMe, 91-9°; COC6H4F-4, H, OMe, 51-2°; COC6H4Ph-4, H, OMe, 101.5-3.0°; COC6H4OAc, H, OMe, 99-101°; 4-thiazolylcarboxy, H, OEt, --; COC6H4Br-4, H, OEt, --; COC6H4OMe-4, Me, tert-Bu, 103-5°;  $\alpha$ -naphthoyl, H, OMe, --; COC6H4OCH2Ph-4, H, OMe, 116-18°; COC6H4OH-4, H, OMe, 98-9°; 2-thienoyl, H, OH, 62°;  $\beta$ -naphthoyl, H, OMe, 120-4° 5-chloro-2-thienoyl, H, OMe, --; COC6H4CF3-4, H, OH, 169-71°; COC6H3(Me)2-2,6, H, OMe, 139.5-41°; COC6H3-C12-2,4, H, OMe, --. A solution 15 g. V and 0.2 g. Na in 60 ml. PhCH2OH was distilled to remove MeOH and excess PhCH2OH distilled (60°/2.5 mm.) to give 18.6 g. I (R = R2 = H, R1 = OMe, R3 = OCH2Ph) (VII), which with NaH and BzCl gave the N-Bz analog (VIII), m. 91-2°. VIII (1.5 g.) was reduced over Pd-C in the presence of 20 ml. AcOEt and 1 drop AcOH to yield I (R = Bz, R1 = OMe, R2 = H, R3 = OH) (IX), m. 172-3° (aqueous EtOH). To 22g. I (R = R2 = H, R1 = OMe, R3 = OH) (X) in 200 ml. tetrahydrofuran was added 10 g.

L12 ANSWER 240 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) was added, stirred 1 hr., and autoclaved at 65-70° 5 hrs. to give Et  $\alpha$ -(2-methyl-5-methoxy-3-indolyl) acrylate which was converted with 4-O2NC6H4O2CPh into its N-Bz analog (XXIV). To CH2I2, Zn-Cu, and iodine in tetrahydrofuran was added XXIV, the mixt. refluxed 20 hrs., and worked up to give Et  $\alpha$ -(1-benzyloxy-2-methyl-5-methoxy-3-indolyl)cyclopropane carboxylate. Isobutyl chloroformate (0.0075 mole) was added to an ice-cooled mixt. of 0.0075 mole I (R = COC6H4Cl-4, R1 = OMe, R2 = H, R3 = OH) (XXV) and 0.0075 mole Et3N in 40 ml. 1,2-dimethoxyethane kept under N2 after 20 min. the whole filtered and 0.008 mole morpholine in 1,2-dimethoxyethane added to the cooled filtrate.

The mixt. kept overnight and filtered to yield I (R = COC6H4Cl-4, R1 = OMe, R2 = H, R3 = morpholino) (XXVI), m. 162-3.5° (C6H6-petr. ether). Similarly, XX gave with Me2NH, ethanamine, PhCH2NH2, N,N-dimethylbenzylamine, and benzylglycinate, resp., the N,N-dimethyl, 179.5-80.5°, N,N-hydroethoxy, m. 137-8°, N,N-PhCH2, N-( $\beta$ -N',N'-diethylaminoethyl), m. 110-11.5°, and N-carboxybenzylxymethyl, m. 133-4.5° (XXVII) acetamides of XXV. I (R = COC6H4Cl-4, R1 = F, R2 = H, R3 = OH) with morpholine gave the 5-F analog of XXVI, m. 168-70°. Redn. of XXVI (Pd-C) gave the corresponding N-carboxymethylacetamide, m. 152.5-54°.

IT 1568-30-5 CAPLUS  
 1H-Indole-3-acetamide, 1-benzoyl-5-methoxy-2-methyl- (CA INDEX NAME)  
 (preparation of)  
 RN 1568-30-5 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-benzoyl-5-methoxy-2-methyl- (CA INDEX NAME)



RN 2854-21-9 CAPLUS  
 Glycine, N-[2-[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl]acetyl]- (CA INDEX NAME)

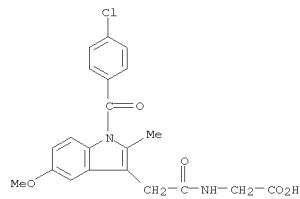
L12 ANSWER 240 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued) N,N-dicyclohexylcarbodiimide (XI), the mixt. kept 2 hrs. at room temp., the sepd. urea filtered off, and the filtrate evapd. in vacuo to give the anhydride of X, an oil, to which 25 ml. tert-BuOH and 0.3 g. fused ZnCl2 was added, and the mixt. refluxed 16 hrs. to give 93% I (R = R2 = H, R1 = OMe, R3 = OBu-tert) (XII), which gave its N-COC6H4Cl-4 analog, m. 103-4°, with NaH and VI. The free acid m. 151° I (R = H, R1 = OMe, R2 = Me, R3 = OH) (XIII) and XI gave the anhydride of XIII, oil,

converted into the tert-Bu ester (XIV) of XIII, oil. The N-COC6H4SMe-4 analog of XIV, yellow oil, was pyrolyzed to give the N-COC6H4SMe-4 analog of XIII, m. 175-6° (aq. EtOH or C6H6). The N-COC6H4Cl-4 analog of XIV was converted into the free acid, m. 87-8° (C6H6-petr. ether). Isonicotinic acid, 4-HOC6H4NO2, and XI in tetrahydrofuran gave p-nitrophenyl isonicotinate (XV), m. 126-7° (C6H6). To 10.5 g. V in 100 ml. Me2NCHO at 0° under N was added 2.5 g. of an emulsion of 50% NaH in mineral oil, the mixt. stirred 30 min., 11 g. XV in 50 ml. Me2NCHO added, stirred 4 hrs. under N at 0° and overnight at room temp. Work-up gave the N-isocitonoyl deriv. of V, CH2CH2CO2H (XVI) and 4-O2NC6H4NNHH2. HCl gave a hydrazine, m. 175-9°, which with fused ZnCl2 in EtOH refluxed 18 hrs. gave I (R = R2 = H, R1 = NO2, R3 = OH), m. 238° (CHCl3). Me ester (XVII), m. 132-40° (C6H6). XVII (3 g.) in 300 ml. anhyd. MeOH reduced with H over Raney Ni in an autoclave gave the 5-NH2 analog (XVIII), m. 144-5° (C6H6). XVIII (1 g.) and 0.99 g. Br(CH2)4Br and 0.975 g. anhyd. Na2CO3 was refluxed 6 hrs. under N to give I (R = H, R1 = NO2, R2 = Me, R3 = OMe), m. 117-18° (C6H6-Skellysolve B), which was converted into its N-COC6H4Cl-4 analog, m. 62-4° (Et2O). The N-COC6H4Cl-4 analog (XIX) of XVII, m. 170-1°, and 37% aq. H2CO3 in dimethoxyethane contg. AcOH was reduced at room temp. with Raney Ni at 2.8 kg./cm.2 to give I (R = H, R1 = NO2, R2 = Me, R3 = OMe), oil. Similar redn. of XIX and AcOH in AcOEt gave I (R = COC6H4Cl-4, R1 = NHAc, R2 = H, R3 = OMe), m. 176-7° (C6H6-Et2O). I (R = H, R1 = NO2, R2 = OCH2Ph, m. 147-8°, was converted into its N-COC6H4Cl-4 analog, m.

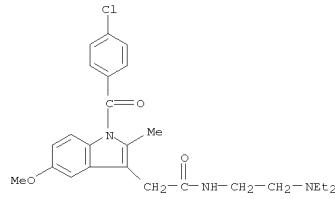
R2 = Et, R3 = OMe). Pd-C redn. of the NO2 group of I (R = COC6H4Cl-4, R1 = NO2, R2 = Me, R3 = OMe) gave the corresponding NH2 compd., which autoclaved with ethylene oxide gave I [R = COC6H4Cl-4, R1 = N(CH2CH2OH)2, R2 = Me, R3 = OMe] (XX). A soln. of 1 mole XX and 2 moles p-MeC6H4SO2Cl (XXI) in C6H5N stirred at 0° gave the 5-N(CH2CH2SO2C6H4Me-4)2 analog of XX, which with MeNH2 in C6H6 kept 3 days at room temp. gave I (R = COC6H4Cl-4, R1 = 4-methyl-1-piperazinyl, 166-7° (C6H6-Skellysolve B). Pd-C redn. of the NO2 group of I (R = COC6H4Cl-4, R1 = NO2, R2 = Me, R3 = OMe) gave the corresponding NH2 compd., which autoclaved with ethylene oxide gave I [R = COC6H4Cl-4, R1 = N(CH2CH2OH)2, R2 = Me, R3 = OMe] (XXI). A soln. of 1 mole XX and 2 moles p-MeC6H4SO2Cl (XXI) in C6H5N stirred at 0° gave the 5-N(CH2CH2SO2C6H4Me-4)2 analog of XX, which with MeNH2 in C6H6 kept 3 days at room temp. gave I (R = COC6H4Cl-4, R1 = 4-methyl-1-piperazinyl, 166-7° (C6H6-Skellysolve B).

XVI gave I (R = R2 = H, R1 = CN, R3 = OH), which with CH2N2 gave the Me ester (XXIII). Reductive amination of the N-COC6H4Cl-4 analog of XXIII in EtOH gave I (R = COC6H4Cl-4, R1 = CH2NH2, R2 = H, R3 = OMe), converted into its 5-CH2NHMe2 deriv. with MeI. AcCH2CH2CO2Et and II gave I (R = H, R1 = OMe, R2 = Et, R3 = OEt), from which the N-COC6H4SMe-4 analog was prep'd. A mixt. of 500 ml. Et2O, 36.02 g. triphenylphosphonium bromide, and 94.36 ml. 1.1N BuLi was stirred under N after 1 hr., 38 g. Et (2-methyl-5-methoxy-3-indolyl)glyoxylate in 160 ml. C6H6 and 500 ml. Et2O

L12 ANSWER 240 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

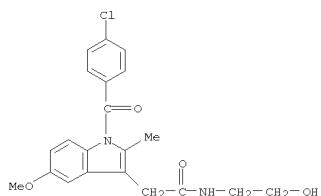


RN 2854-34-4 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-[2-(diethylamino)ethyl]-5-methoxy-2-methyl- (CA INDEX NAME)

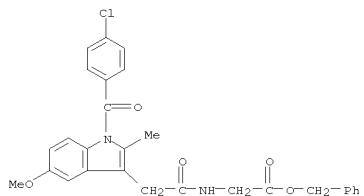


RN 2878-86-6 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-(4-chlorobenzoyl)-N-(2-hydroxyethyl)-5-methoxy-2-methyl- (CA INDEX NAME)

L12 ANSWER 240 OF 240 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 3326-40-7 CAPLUS  
CN Glycine,  
N-[(1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1H-indol-3-yl)acetyl]-  
, phenylmethyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS  
RECORD  
(1 CITINGS)